10523075.trn

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LOGINID:SSSPTA1626GMS

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	JAN 08	CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS 3	JAN 16	CA/CAplus Company Name Thesaurus enhanced and reloaded
NEWS 4	JAN 16	IPC version 2007.01 thesaurus available on STN
NEWS 5	JAN 16	WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 6	JAN 22	CA/CAplus updated with revised CAS roles
NEWS 7	JAN 22	CA/CAplus enhanced with patent applications from India
NEWS 8	JAN 29	PHAR reloaded with new search and display fields
NEWS 9	JAN 29	CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS 10	FEB 15	PATDPASPC enhanced with Drug Approval numbers
NEWS 11	FEB 15	RUSSIAPAT enhanced with pre-1994 records
NEWS 12	FEB 23	KOREAPAT enhanced with IPC 8 features and functionality
NEWS 13	FEB 26	MEDLINE reloaded with enhancements
NEWS 14	FEB 26	EMBASE enhanced with Clinical Trial Number field
NEWS 15	FEB 26	TOXCENTER enhanced with reloaded MEDLINE
NEWS 16	FEB 26	IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS 17	FEB 26	CAS Registry Number crossover limit increased from 10,000 to 300,000 in multiple databases
NEWS 18	MAR 15	WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 19	MAR 16	CASREACT coverage extended
NEWS 20	MAR 20	MARPAT now updated daily
NEWS 21	MAR 22	LWPI reloaded
NEWS 22	MAR 30	RDISCLOSURE reloaded with enhancements
NEWS 23	MAR 30	INPADOCDB will replace INPADOC on STN
NEWS 24	APR 02	JICST-EPLUS removed from database clusters and STN

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

```
* * * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:06:53 ON 18 APR 2007

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files.  For example, the EXPAND
command can only be used to look at the index in a file which has an
index.  Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of
commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.21       0.21

FILE 'REGISTRY' ENTERED AT 14:07:04 ON 18 APR 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   16 APR 2007  HIGHEST RN 930395-50-9
DICTIONARY FILE UPDATES:  16 APR 2007  HIGHEST RN 930395-50-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10523075.str
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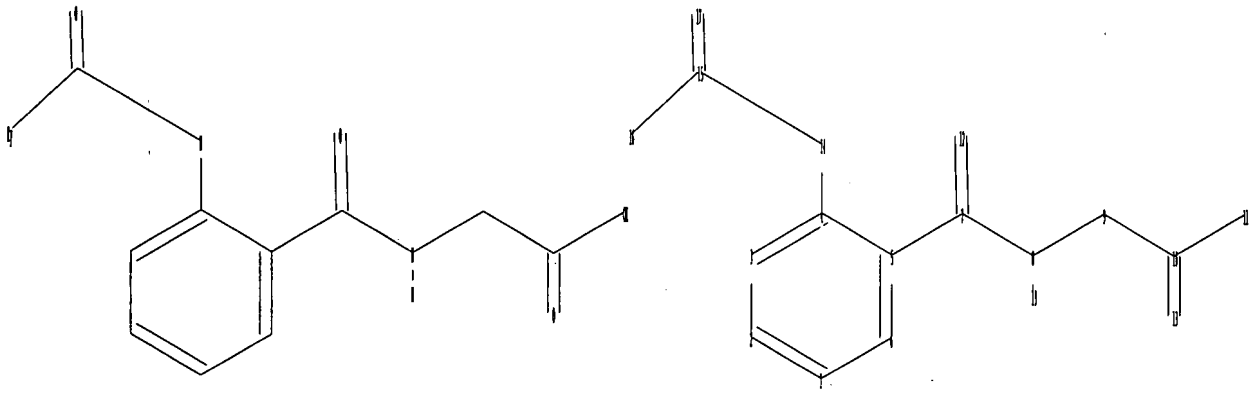
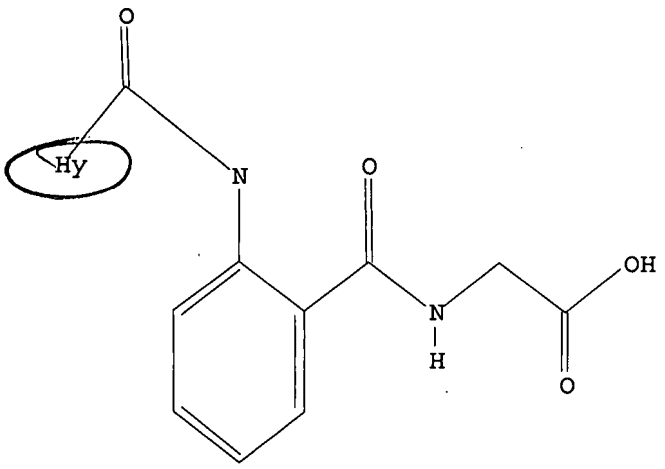


chain nodes :
7 8 9 10 11 12 13 14 15 16 17 19
ring nodes :
1 2 3 4 5 6
chain bonds :
4-14 5-7 7-8 7-12 8-9 8-19 9-10 10-11 10-13 14-15 15-16 15-17
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
4-14 7-8 7-12 8-9 14-15 15-16 15-17
exact bonds :
5-7 8-19 9-10
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-13
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:Atom 17:CLASS 19:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> s l1
SAMPLE SEARCH INITIATED 14:07:17 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       69 TO ITERATE

100.0% PROCESSED       69 ITERATIONS                                2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          882 TO     1878
PROJECTED ANSWERS:               2 TO      124

L2             2 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 14:07:23 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     1469 TO ITERATE

100.0% PROCESSED     1469 ITERATIONS                              118 ANSWERS
SEARCH TIME: 00.00.01

L3           118 SEA SSS FUL L1

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Uploading C:\Program Files\Stnexp\Queries\10523075a.str
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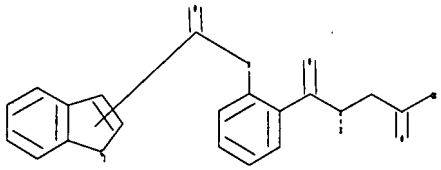
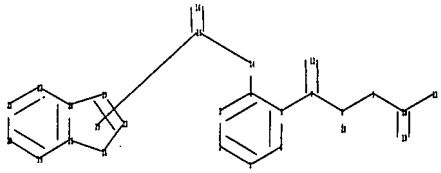




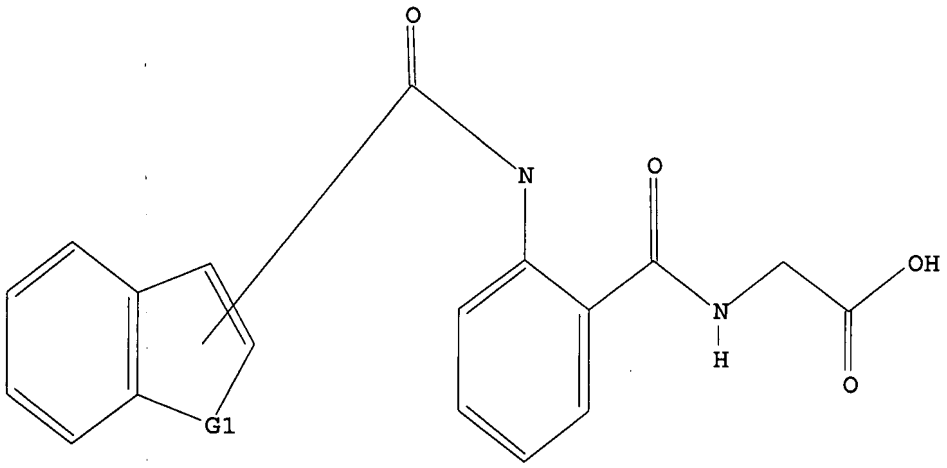
chain nodes :
7 8 9 10 11 12 13 14 15 16 18
ring nodes :
1 2 3 4 5 6 19 20 21 22 23 24 25 26 27
chain bonds :
4-14 5-7 7-8 7-12 8-9 8-18 9-10 10-11 10-13 14-15 15-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 19-20 19-25 20-21 21-22 22-26 23-24 23-27
24-25 25-26 26-27
exact/norm bonds :
4-14 5-7 7-8 7-12 8-9 8-18 9-10 14-15 15-16 23-24 23-27 24-25 26-27
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-13 19-20 19-25 20-21 21-22 22-26
25-26
isolated ring systems :
containing 1 : 19 :

G1:O,S,N,NH

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 18:CLASS 19:Atom
20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 29:Atom

L4 STRUCTURE UPLOADED

=> d l4
L4 HAS NO ANSWERS
L4 STR



G1 O,S,N,NH

Structure attributes must be viewed using STN Express query preparation.

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=> s l4
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SAMPLE SCREEN SEARCH COMPLETED -       18 TO ITERATE

100.0% PROCESSED       18 ITERATIONS                              2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          106 TO      614
PROJECTED ANSWERS:               2 TO      124

L5             2 SEA SSS SAM L4

=> s l4 sss full
FULL SEARCH INITIATED 14:10:52 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      255 TO ITERATE

100.0% PROCESSED      255 ITERATIONS                             83 ANSWERS
SEARCH TIME: 00.00.01

L6            83 SEA SSS FUL L4

=> FIL HCAPLUS
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             346.00     346.21

FILE 'HCAPLUS' ENTERED AT 14:10:57 ON 18 APR 2007
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FILE COVERS 1907 - 18 Apr 2007 VOL 146 ISS 17
FILE LAST UPDATED: 16 Apr 2007 (20070416/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 14:06:53 ON 18 APR 2007)

FILE 'REGISTRY' ENTERED AT 14:07:04 ON 18 APR 2007
L1 STRUCTURE UPLOADED
L2 2 S L1
L3 118 S L1 SSS FULL
L4 STRUCTURE UPLOADED
L5 2 S L4
L6 83 S L4 SSS FULL

FILE 'HCAPLUS' ENTERED AT 14:10:57 ON 18 APR 2007

=> s l3
L7 19 L3

=> s l6
L8 7 L6

=> s l7 and py<=2003
23917404 PY<=2003
L9 5 L7 AND PY<=2003

=> s l8 and py<=2003
23917404 PY<=2003
L10 1 L8 AND PY<=2003

=> d l10 ibib abs hitstr tot

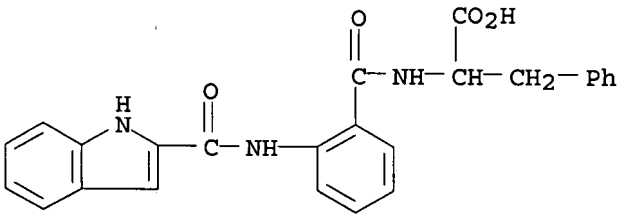
L10 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:52789 HCAPLUS
DOCUMENT NUMBER: 139:357992
TITLE: Anthranilic acid derivatives: a new class of non-peptide CCK1 receptor antagonists
AUTHOR(S): Varnavas, Antonio; Lassiani, Lucia; Valenta, Valentina; Berti, Federico; Mennuni, Laura; Makovec, Francesco
CORPORATE SOURCE: Department of Pharmaceutical Sciences, University of Trieste, Trieste, 34127, Italy
SOURCE: Bioorganic & Medicinal Chemistry (2003), 11(5), 741-751
CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:357992

AB Having successfully obtained new CCK1 ligands holding appropriate groups on the anthranilic acid dimer used as mol. scaffold we were interested in increasing their micromolar affinity for the CCK1 receptors by modifying the spatial relationship of the main pharmacophoric groups. Since, we have proposed simplified analogs reducing the anthranilic acid dimer to a monomer. In this stage of our research program we have prepared and tested on CCK receptors a series of N-substituted anthranilic acid derivs. keeping a Phe residue at the C-terminal site. The indole-2-carbonyl group imparts the best CCK1 receptor binding affinity (compound 1: IC50=197.5 nM) while a sharp decrease in binding affinity is observed for the other indole containing derivs. Moreover, in order to support the different binding behavior observed for the synthesized compds., a conformational investigation was carried out. Finally, on the basis of the main pharmacophoric groups of the obtained new lead compound (1) (coded VL-0395) a receptor binding hypothesis has been provided.

IT 620167-11-5P 620167-15-9P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of anthranilic acid derivs. as a new class of non-peptide CCK1 receptor antagonists)

RN 620167-11-5 HCAPLUS
CN Phenylalanine, N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



RN 620167-15-9 HCAPLUS
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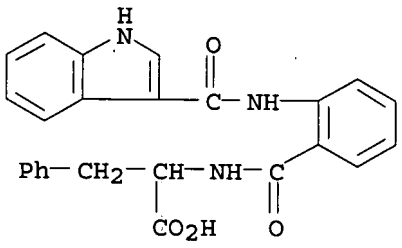


REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l9 ibib abs hitstr tot

L9 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:737529 HCAPLUS
DOCUMENT NUMBER: 139:276714
TITLE: Preparation of arylthiomethyl carbamoylcyclohexanes and related compounds as modulators of chemokine receptor activity
INVENTOR(S): Cherney, Robert J.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 293 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003075853	A2	20030918	WO 2003-US7145	20030307 <--
WO 2003075853	A3	20040401		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003218028	A1	20030922	AU 2003-218028	20030307 <--
US 2003216434	A1	20031120	US 2003-383391	20030307 <--
US 7087604	B2	20060808		
EP 1483241	A2	20041208	EP 2003-714009	20030307
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006135503	A1	20060622	US 2006-351415	20060210

PRIORITY APPLN. INFO.:
US 2002-362604P P 20020308
US 2003-383391 A3 20030307
WO 2003-US7145 W 20030307

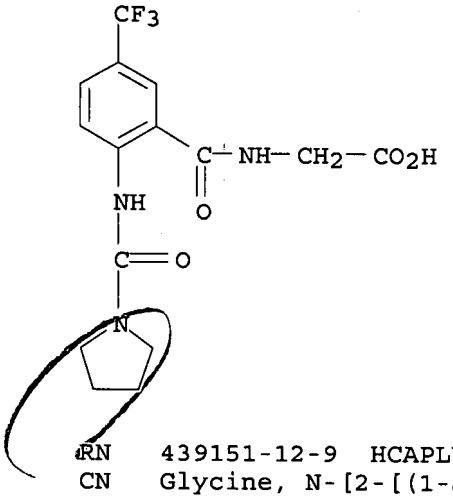
OTHER SOURCE(S): MARPAT 139:276714

AB R1E(CHR13)sB(CHR13)sNR14CO(CR10R10a)nN(R8)ZR2 [B = (unsatd.) (substituted) 3-8 membered cycloalkyl, 3-7 membered heterocyclyl; Z = bond, CO, CONH, CSNH, SO2, SO2NH; E = NHCO2, SOpCHR15, COCHR15, etc.; R1, R2 = (substituted) aryl, heteroaryl; R8 = H, alkyl, cycloalkyl; R10, R10a = H, (substituted) alkyl; R13 = Me, (substituted) alkyl; R14, R15 = H, alkyl; n = 1, 2; p = 0-2; s = 0, 1], were prepared as drugs (no data). Thus, (1S*,2R*)(2-phenylsulfanylmethylcyclohexyl)carbamic acid tert-Bu ester (preparation given) in CH2Cl2 at 0° was treated with CF3CO2H and the reaction was warmed to rt to give a residue. This in DMF with diisopropylethylamine and BOC-Gly-OH at 0° was treated with BOP followed by warming to room temperature and stirring overnight. The resulting residue was treated with CF3CO2H in CH2Cl2 at 0° to room temperature to give a residue which in DMF with diisopropylethylamine and 2-(tert-butoxycarbonyl)amino-5-trifluoromethylbenzoic acid at 0° was treated with BOP followed by warming to room temperature and stirring overnight to give tert-Bu 2-[[[2-[[(1S*,2R*)-2-[(phenylthio)methyl]cyclohexyl]amino]-2-oxoethyl]amino]carbonyl]-4-(trifluoromethyl)phenylcarbamate.

IT 439151-10-7 439151-12-9 445480-30-8

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of arylthiomethyl carbamoylcyclohexanes and related compds. as modulators of chemokine receptor activity)

RN 439151-10-7 HCAPLUS
CN Glycine, N-[2-[(1-pyrrolidinylcarbonyl)amino]-5-(trifluoromethyl)benzoyl]- (9CI) (CA INDEX NAME)



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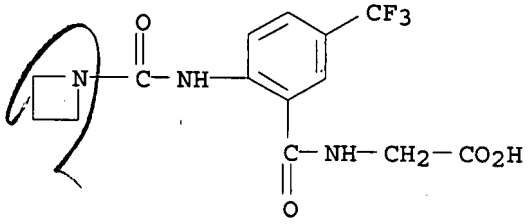
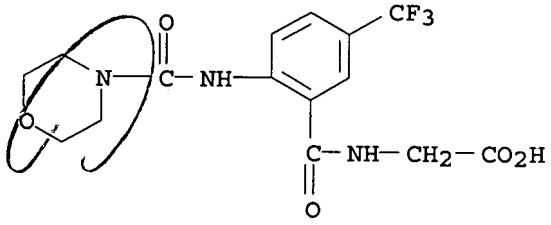


RN 445480-30-8 HCAPLUS
CN Glycine, N-[2-[(4-morpholinylcarbonyl)amino]-5-(trifluoromethyl)benzoyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:52789 HCAPLUS
DOCUMENT NUMBER: 139:357992
TITLE: Anthranilic acid derivatives: a new class of non-peptide CCK1 receptor antagonists

10523075.trn

AUTHOR(S): Varnavas, Antonio; Lassiani, Lucia; Valenta, Valentina; Berti, Federico; Mennuni, Laura; Makovec, Francesco

CORPORATE SOURCE: Department of Pharmaceutical Sciences, University of Trieste, Trieste, 34127, Italy

SOURCE: Bioorganic & Medicinal Chemistry (2003), 11(5), 741-751
CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

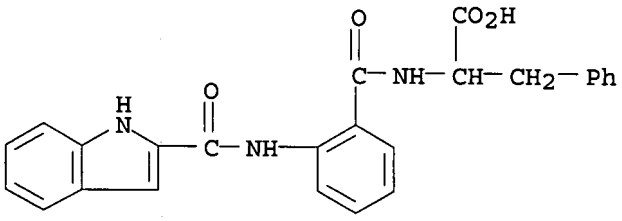
OTHER SOURCE(S): CASREACT 139:357992

AB Having successfully obtained new CCK1 ligands holding appropriate groups on the anthranilic acid dimer used as mol. scaffold we were interested in increasing their micromolar affinity for the CCK1 receptors by modifying the spatial relationship of the main pharmacophoric groups. Since, we have proposed simplified analogs reducing the anthranilic acid dimer to a monomer. In this stage of our research program we have prepared and tested on CCK receptors a series of N-substituted anthranilic acid derivs. keeping a Phe residue at the C-terminal site. The indole-2-carbonyl group imparts the best CCK1 receptor binding affinity (compound 1: IC50=197.5 nM) while a sharp decrease in binding affinity is observed for the other indole containing derivs. Moreover, in order to support the different binding behavior observed for the synthesized compds., a conformational investigation was carried out. Finally, on the basis of the main pharmacophoric groups of the obtained new lead compound (1) (coded VL-0395) a receptor binding hypothesis has been provided.

IT 620167-11-5P 620167-14-8P 620167-15-9P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of anthranilic acid derivs. as a new class of non-peptide CCK1 receptor antagonists)

RN 620167-11-5 HCAPLUS

CN Phenylalanine, N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



RN 620167-14-8 HCAPLUS

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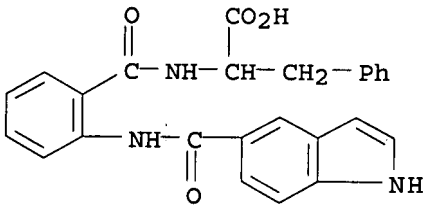

RN 620167-15-9 HCAPLUS
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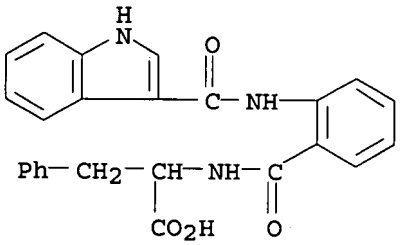

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:594806 HCAPLUS
DOCUMENT NUMBER: 137:154762
TITLE: Preparation of N-[2-(cycloalkylamino)-2-oxoethyl]benzamides and related compounds as modulators of chemokine receptor activity
INVENTOR(S): Cherney, Robert
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 286 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002060859	A2	20020808	WO 2001-US50252	20011220 <--
WO 2002060859	A3	20030327		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2432369	A1	20020808	CA 2001-2432369	20011220 <--
AU 2002248244	A1	20020812	AU 2002-248244	20011220 <--
US 2003004151	A1	20030102	US 2001-27644	20011220 <--
US 6706712	B2	20040316		
EP 1343751	A2	20030917	EP 2001-997125	20011220 <--
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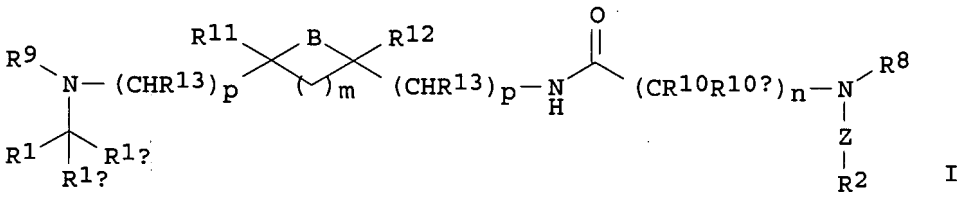
HU 200303652	A2	20040301	HU 2003-3652	20011220
JP 2004523534	T	20040805	JP 2002-561010	20011220
US 2004110736	A1	20040610	US 2003-706448	20031112
US 7045521	B2	20060516		

US 2006135502 A1 20060622 US 2005-315385 20051222
PRIORITY APPLN. INFO.: US 2000-256904P P 20001220
US 2001-27644 A3 20011220
WO 2001-US50252 W 20011220
US 2003-706448 A3 20031112

OTHER SOURCE(S): MARPAT 137:154762

GI



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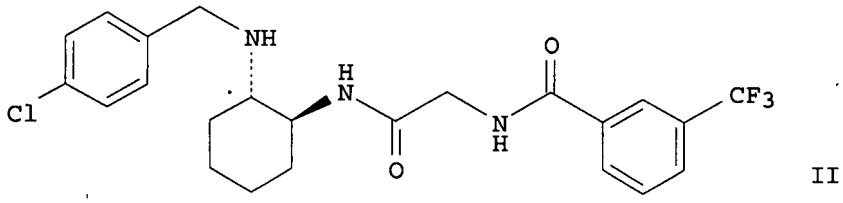


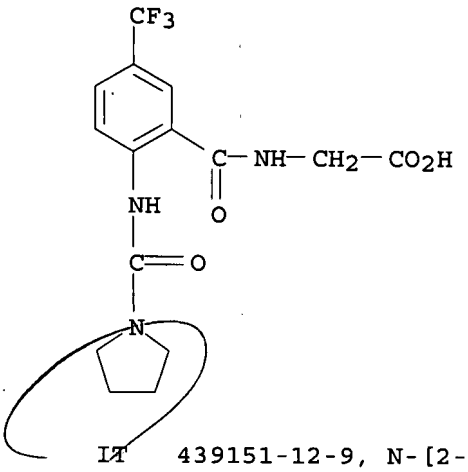
II

AB Title compds. I [wherein; or pharmaceutically acceptable salts thereof] were prepared as modulators of chemokine receptor activity, especially monocyte chemoattractant protein-1 (MCP-1) (no data). For example, N-tert-butoxycarbonylcyclohexane-(S,S)-1,2-diamine was treated with 4-methylmorpholine and [[3-(trifluoromethyl)benzoyl]amino]acetic acid in DMF to give the amide. Deprotection using TFA in CH2Cl2, followed by sequential addition of Hunig's base, 4-chlorobenzaldehyde, and NaHB(OAc)3, afforded the [(cyclohexylamino)oxoethyl]benzamide II. I are useful for the treatment and prevention of inflammatory disease, allergic and autoimmune diseases, and in particular, rheumatoid arthritis, multiple sclerosis, atherosclerosis and asthma (no data).

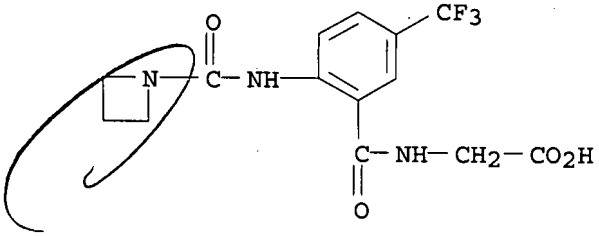
IT 439151-10-7P, N-[2-[(1-Pyrrolidinylcarbonyl)amino]-5-(trifluoromethyl)benzoyl]glycine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(chemokine receptor modulator; preparation of [(cycloalkylamino)oxoethyl]benzamides and related compds. as modulators of chemokine receptor activity)

RN 439151-10-7 HCAPLUS

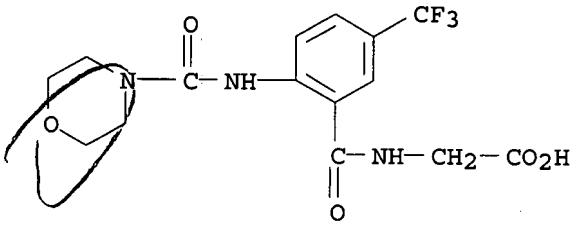
CN Glycine, N-[2-[(1-pyrrolidinylcarbonyl)amino]-5-(trifluoromethyl)benzoyl]-(9CI) (CA INDEX NAME)



IT 439151-12-9, N-[2-[(1-Azetidinylcarbonyl)amino]-5-(trifluoromethyl)benzoyl]glycine 445480-30-8, N-[2-[(4-Morpholinylcarbonyl)amino]-5-(trifluoromethyl)benzoyl]glycine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of [(cycloalkylamino)oxoethyl]benzamides and related compds. as modulators of chemokine receptor activity)
RN 439151-12-9 HCAPLUS
CN Glycine, N-[2-[(1-azetidinylcarbonyl)amino]-5-(trifluoromethyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 445480-30-8 HCAPLUS
CN Glycine, N-[2-[(4-morpholinylcarbonyl)amino]-5-(trifluoromethyl)benzoyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:487516 HCAPLUS
DOCUMENT NUMBER: 137:63474
TITLE: Preparation of amino acid-related diamines as modulators of chemokine receptor activity
INVENTOR(S): Carter, Percy; Cherney, Robert

PATENT ASSIGNEE(S): Bristol-Myers Squibb Pharma Company, USA
SOURCE: PCT Int. Appl., 375 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050019	A2	20020627	WO 2001-US50619	20011220 <--
WO 2002050019	A3	20030313		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2432908	A1	20020627	CA 2001-2432908	20011220 <--
AU 2002041724	A5	20020701	AU 2002-41724	20011220 <--
US 2003060459	A1	20030327	US 2001-27505	20011220 <--
US 6974836	B2	20051213		
EP 1351924	A2	20031015	EP 2001-988415	20011220 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 200303540	A2	20040128	HU 2003-3540	20011220
JP 2005506949	T	20050310	JP 2002-551518	20011220
US 2005282882	A1	20051222	US 2005-181436	20050714

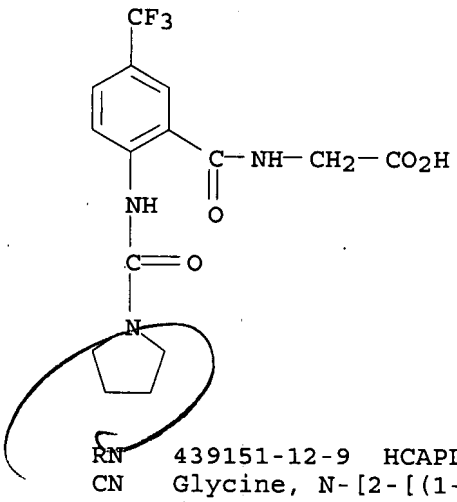
PRIORITY APPLN. INFO.:	US 2000-256855P	P	20001220
	US 2001-27505	A3	20011220
	WO 2001-US50619	W	20011220

OTHER SOURCE(S): MARPAT 137:63474

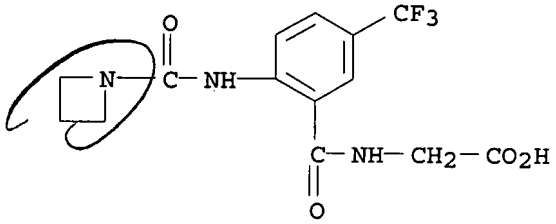
AB Diamine compds. R1-X-CR6R7(CR8R9)m(CR10R11)lCR12R3NHCO(CR14R14a)nNR15-Z-R2 [Z = a bond, CONH, C(S)NH, SO2, SO2NH; X = NH, (cyclo)alkylimino, O, S, methyleneimino optionally substituted by (cyclo)alkyl; R1, R2 = (hetero)aryl; R3 = H, functionalized alkyl, (hetero)cyclyl; R6-R12 = alkyl, alkenyl, alkynyl, any group given for R3; R14, R14a = (un)substituted alkyl; n = 1 or 2; l, m = 0 or 1] or their pharmaceutically acceptable salt were prepared as modulators of chemokine receptor activity for use in the treatment and prevention of asthma, multiple sclerosis, atherosclerosis, and rheumatoid arthritis. One hundred ninety-four diamines, e.g., Me (2S)-3-[[(2,4-dimethylphenyl)methyl]amino]-2-[[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]propanoate, were synthesized and claimed. All examples of the present invention have activity (IC50 = 50% at .ltorsim. 20 μM) in the antagonism of MCP-1 binding to human PBMC (human peripheral blood mononuclear cells).

IT 439151-10-7P 439151-12-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino acid-related diamines as modulators of chemokine receptor activity)

RN 439151-10-7 HCAPLUS
CN Glycine, N-[2-[(1-pyrrolidinylcarbonyl)amino]-5-(trifluoromethyl)benzoyl]-(9CI) (CA INDEX NAME)



RN 439151-12-9 HCAPLUS
CN Glycine, N-[2-[(1-azetidinylcarbonyl)amino]-5-(trifluoromethyl)benzoyl]-
(9CI) (CA INDEX NAME)



L9 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:228855 HCAPLUS
DOCUMENT NUMBER: 134:252658
TITLE: Preparation of tyrosine derivatives as inhibitors of α4 containing integrin-mediated binding to ligands VCAM-1 and MAdCAM.
INVENTOR(S): Jackson, David Y.; Sailes, Frederick C.; Sutherlin, Daniel P.
PATENT ASSIGNEE(S): Genentech, Inc., USA
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021584	A1	20010329	WO 2000-US26326	20000925 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,

CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2385882	A1	20010329	CA 2000-2385882		20000925 <--
EP 1214292	A1	20020619	EP 2000-965417		20000925 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL					
US 6469047	B1	20021022	US 2000-669779		20000925 <--
JP 2003509488	T	20030311	JP 2001-524964		20000925 <--
AU 780385	B2	20050317	AU 2000-76138		20000925
US 2004110753	A1	20040610	US 2002-198328		20020716
US 2004158076	A1	20040812	US 2004-772678		20040204
PRIORITY APPLN. INFO.:			US 1999-156062P	P	19990924
			US 2000-669779	A1	20000925
			WO 2000-US26326	W	20000925
			US 2002-198328	A1	20020716

OTHER SOURCE(S): MARPAT 134:252658

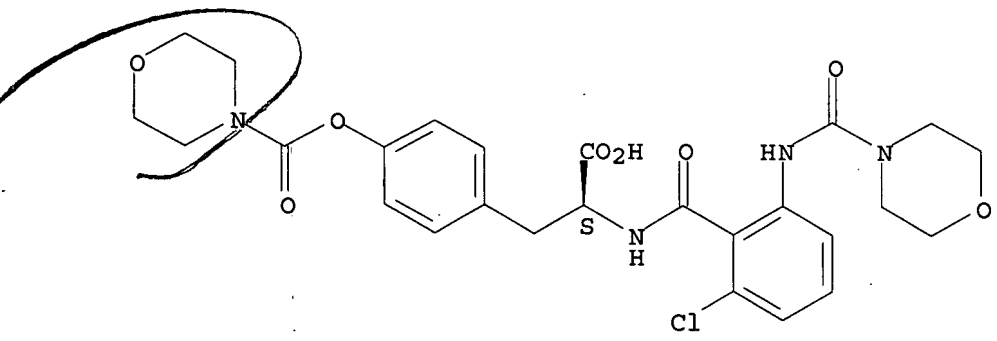
AB Tyrosine derivs., e.g., ArCH2CH[N(A)(Z)]CO-Y [Z = H, alkyl; A = B(CH2)q-X-, where B = (un)substituted Ph and X = CO, SO2, null or B = cyanoalkyl, carbocyclyl or heterocyclyl and X = CO; R6 = H, alkyl, amino, cyano, hydroxy, alkylsulfonyl, etc.; q = 0-3; Y is H, (un)substituted alkoxy, alkoxyalkoxy, aryloxy, alkylaminoalkoxy, dialkylaminoalkoxy,alkylamino, arylamino, heterocyclyl or heteroarylalkyl; Ar is Ph which has hydroxy, carbonate, thiocarbonate, carbamoyloxy or acyloxy groups and optionally other substituents] were prepared as inhibitors of α4 containing integrin-mediated binding to ligands such as VCAM-1 and MAdCAM. Methods of synthesis are described and inhibitory binding data are tabulated for 416 compds., including N-(o-chlorobenzoyl)-O-(allylcarbamoyl)-L-tyrosine, for which IC50 is < 1.0 micromolar.

IT 331471-45-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tyrosine derivs. as inhibitors of α4 containing integrin-mediated binding to ligands VCAM-1 and MAdCAM.)

RN 331471-45-5 HCAPLUS

CN L-Tyrosine, N-[2-chloro-6-[(4-morpholinylcarbonyl)amino]benzoyl]-, 4-morpholinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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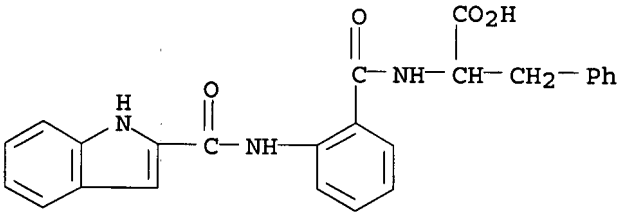
L8 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:277403 HCAPLUS

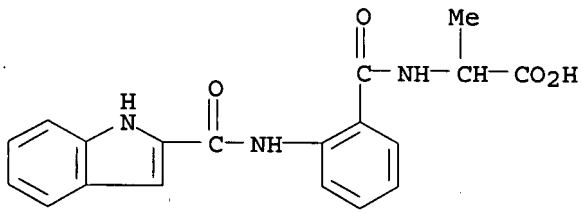
DOCUMENT NUMBER: 144:480423
TITLE: Anthranilic Acid Based CCK1 Receptor Antagonists and CCK-8 Have a Common Step in Their "Receptor Desmodynamic Processes"
AUTHOR(S): De Luca, Stefania; Saviano, Michele; Lassiani, Lucia; Yannakopoulou, Konstantina; Stefanidou, Penny; Aloj, Luigi; Morelli, Giancarlo; Varnavas, Antonio
CORPORATE SOURCE: Interuniversity Research Center on Bioactive Peptides (CIRPeB), University of Naples Federico II, Naples, I-80134, Italy
SOURCE: Journal of Medicinal Chemistry (2006), 49(8), 2456-2462
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The interaction between the 1-47 N-terminus of the CCK1-R and the anthranilic acid based antagonists has been investigated by fluorescence spectroscopy. These antagonists interact with W39 of the N-terminal domain of the CCK1-R like that of the endogenous ligand CCK-8. This specific interaction was not found in other nonpeptide ligands of the CCK1-R. Conformational studies, using NMR and energy minimization procedures, have allowed formulation of a new hypothesis on the CCK1-R binding mode of the anthranilic antagonists.

IT 620167-11-5, VL 0395 657432-67-2
RL: PAC (Pharmacological activity); BIOL (Biological study)
(anthranilic acid-based CCK1 receptor antagonists and CCK-8 common step in receptor desmodynamic processes)

RN 620167-11-5 HCAPLUS
CN Phenylalanine, N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



RN 657432-67-2 HCAPLUS
CN Alanine, N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

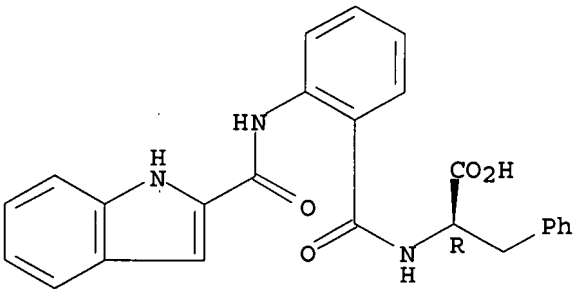
L8 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:890071 HCAPLUS
DOCUMENT NUMBER: 143:359427
TITLE: N-terminal anthranoyl-phenylalanine derivatives as CCK1 receptor antagonists: The final approach
AUTHOR(S): Varnavas, A.; Lassiani, L.; Valenta, V.; Ciogli, A.; Gasparrini, F.; Mennuni, L.; Makovec, F.
CORPORATE SOURCE: Department of Pharmaceutical Sciences, University of Trieste, Trieste, 34127, Italy
SOURCE: Medicinal Chemistry (2005), 1(5), 501-517
CODEN: MCEHAJ; ISSN: 1573-4064
PUBLISHER: Bentham Science Publishers Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Starting from the lead compound, VL-0395, an anthranilic acid based CCK1 receptor antagonist, and following the well established "step by step" lead investigation strategy, the authors describe the final step of the anthranilic acid N-terminal optimization. Improvements for both affinity and selectivity towards CCK1 receptors have been accomplished through introduction of the fluoro substituent at C-5 and C-7 position of the indole ring together with the appropriate configuration of the aminoacidic chiral center.

IT 657432-35-4P 657432-36-5P 657432-39-8P
657432-40-1P 657432-41-2P 866116-77-0P
866116-78-1P 866116-79-2P 866116-80-5P
866116-81-6P 866116-82-7P 866116-83-8P
866116-84-9P 866116-85-0P 866116-87-2P
866116-89-4P 866116-90-7P 866116-91-8P
866116-92-9P 866116-93-0P 866116-94-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(N-terminal anthranoyl-phenylalanine derivs. as CCK1 receptor antagonists)

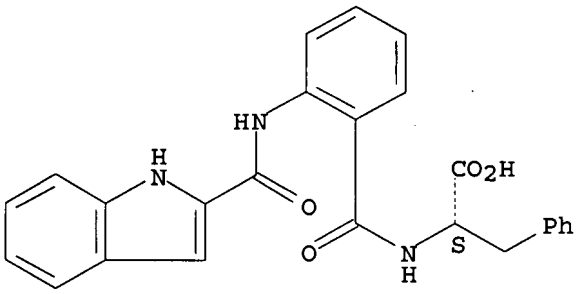
RN 657432-35-4 HCAPLUS
CN D-Phenylalanine, N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

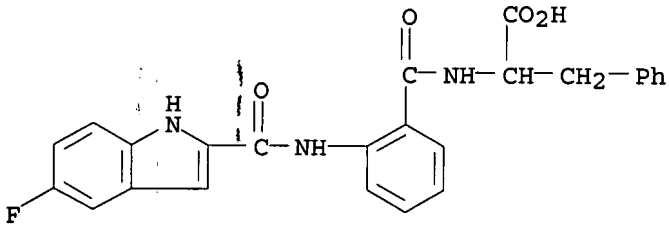


RN 657432-36-5 HCAPLUS
CN L-Phenylalanine, N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)

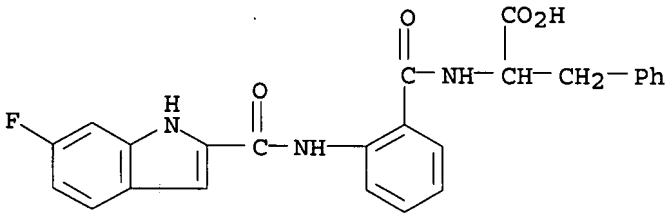
Absolute stereochemistry. Rotation (-).



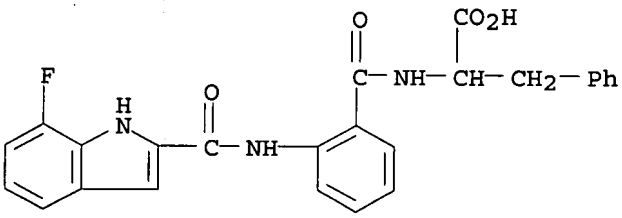
RN 657432-39-8 HCAPLUS
CN Phenylalanine, N-[2-[[(5-fluoro-1H-indol-2-yl)carbonyl]amino]benzoyl]-
(9CI) (CA INDEX NAME)



RN 657432-40-1 HCAPLUS
CN Phenylalanine, N-[2-[[(6-fluoro-1H-indol-2-yl)carbonyl]amino]benzoyl]-
(9CI) (CA INDEX NAME)

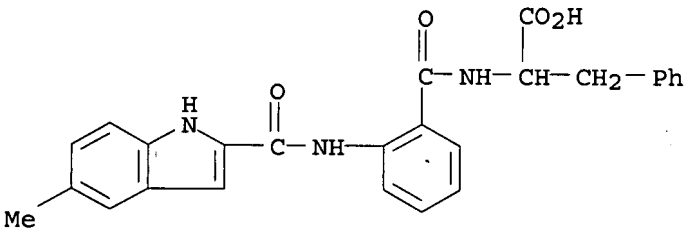


RN 657432-41-2 HCAPLUS
CN Phenylalanine, N-[2-[[(7-fluoro-1H-indol-2-yl)carbonyl]amino]benzoyl]-
(9CI) (CA INDEX NAME)

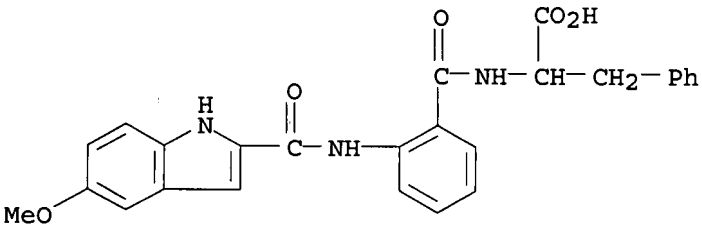


RN 866116-77-0 HCAPLUS
CN Phenylalanine, N-[2-[[(5-methyl-1H-indol-2-yl)carbonyl]amino]benzoyl]-

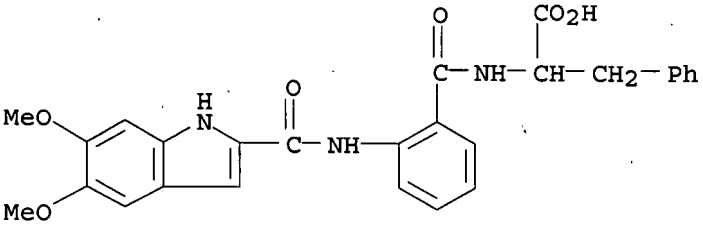
(9CI) (CA INDEX NAME)



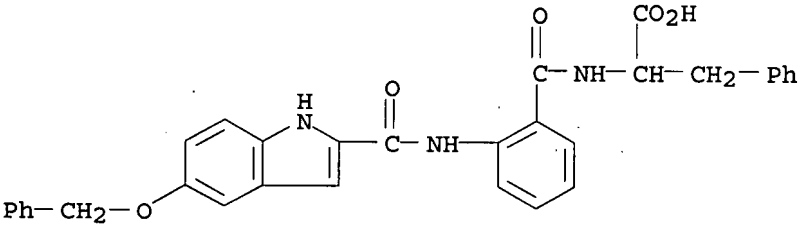
RN 866116-78-1 HCAPLUS
CN Phenylalanine, N-[2-[[(5-methoxy-1H-indol-2-yl)carbonyl]amino]benzoyl]-
(9CI) (CA INDEX NAME)



RN 866116-79-2 HCAPLUS
CN Phenylalanine, N-[2-[[(5,6-dimethoxy-1H-indol-2-yl)carbonyl]amino]benzoyl]-
(9CI) (CA INDEX NAME)

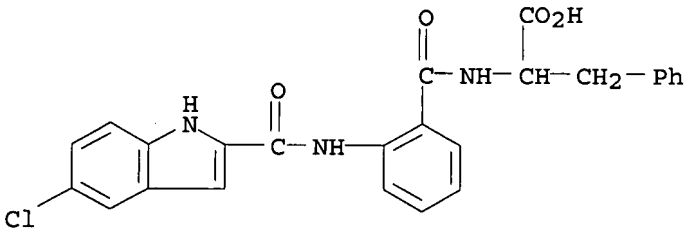


RN 866116-80-5 HCAPLUS
CN Phenylalanine, N-[2-[[[5-(phenylmethoxy)-1H-indol-2-
yl]carbonyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

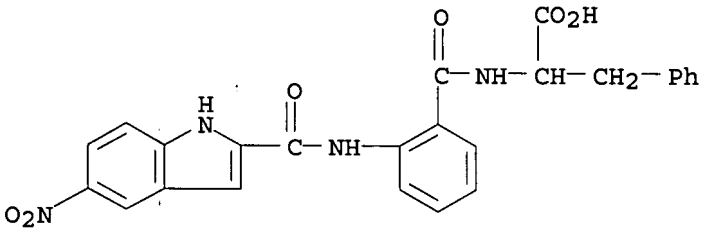


RN 866116-81-6 HCAPLUS
CN Phenylalanine, N-[2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]benzoyl]-

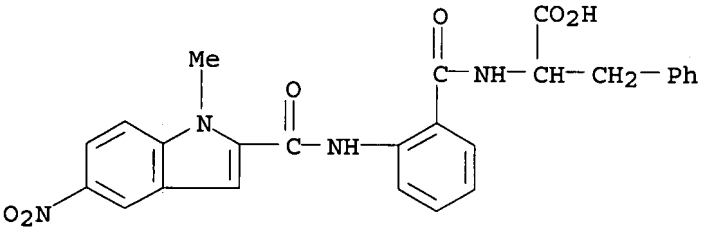
(9CI) (CA INDEX NAME)



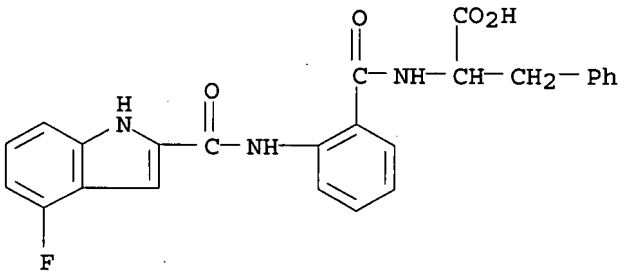
RN 866116-82-7 HCAPLUS
CN Phenylalanine, N-[2-[[(5-nitro-1H-indol-2-yl)carbonyl]amino]benzoyl]-
(9CI) (CA INDEX NAME)



RN 866116-83-8 HCAPLUS
CN Phenylalanine, N-[2-[[(1-methyl-5-nitro-1H-indol-2-
yl)carbonyl]amino]benzoyl]- (9CI) (CA INDEX NAME)



RN 866116-84-9 HCAPLUS
CN Phenylalanine, N-[2-[[(4-fluoro-1H-indol-2-yl)carbonyl]amino]benzoyl]-
(9CI) (CA INDEX NAME)



RN 866116-85-0 HCAPLUS
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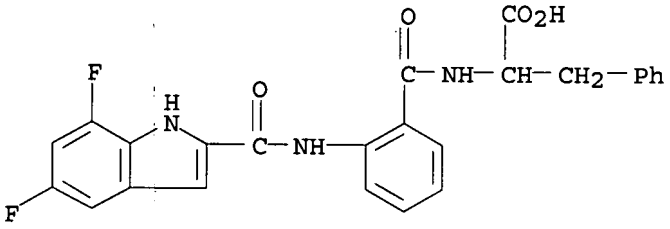
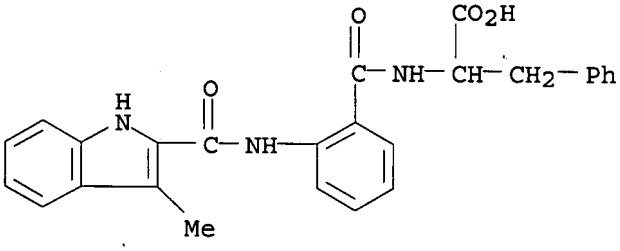


RN 866116-87-2 HCAPLUS
CN Phenylalanine, N-[2-[[(3-methyl-1H-indol-2-yl)carbonyl]amino]benzoyl]- (9CI) (CA INDEX NAME)



RN 866116-89-4 HCAPLUS
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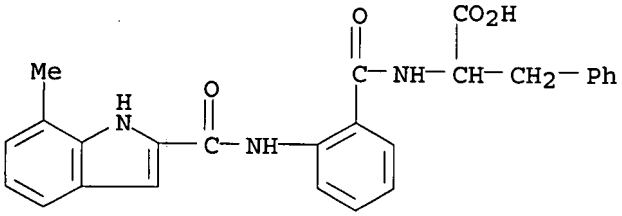
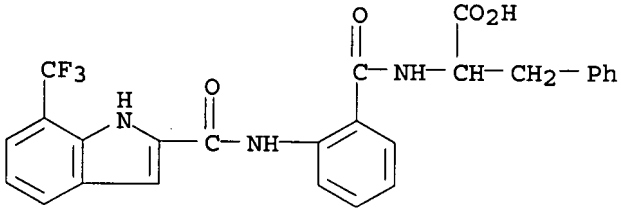
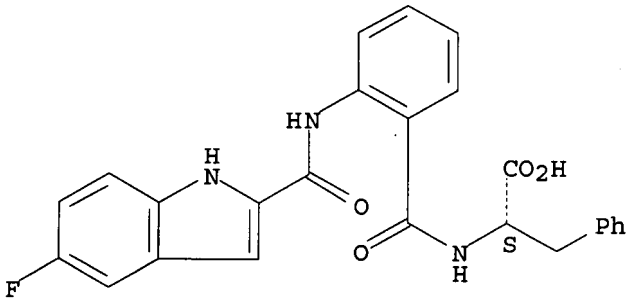


RN 866116-90-7 HCAPLUS
CN Phenylalanine, N-[2-[[[7-(trifluoromethyl)-1H-indol-2-yl]carbonyl]amino]benzoyl]- (9CI) (CA INDEX NAME)



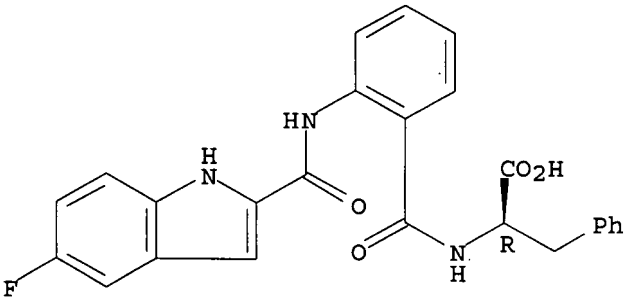
RN 866116-91-8 HCAPLUS
CN L-Phenylalanine, N-[2-[[(5-fluoro-1H-indol-2-yl)carbonyl]amino]benzoyl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



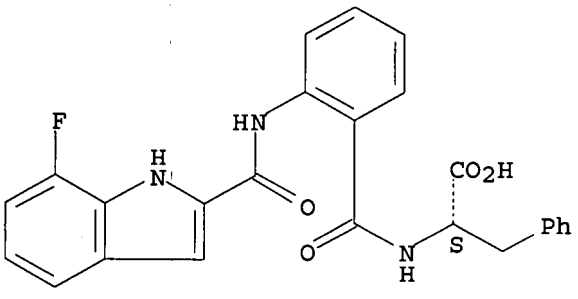
RN 866116-92-9 HCAPLUS
CN D-Phenylalanine, N-[2-[[(5-fluoro-1H-indol-2-yl)carbonyl]amino]benzoyl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



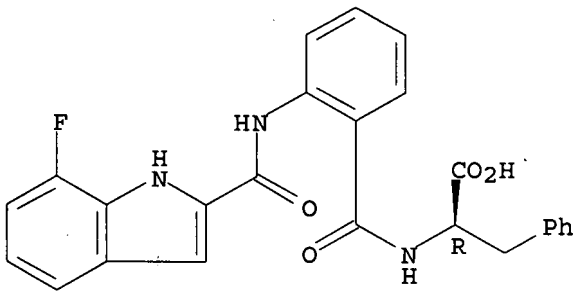
RN 866116-93-0 HCAPLUS
CN L-Phenylalanine, N-[2-[[(7-fluoro-1H-indol-2-yl)carbonyl]amino]benzoyl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 866116-94-1 HCAPLUS
CN D-Phenylalanine, N-[2-[[(7-fluoro-1H-indol-2-yl)carbonyl]amino]benzoyl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

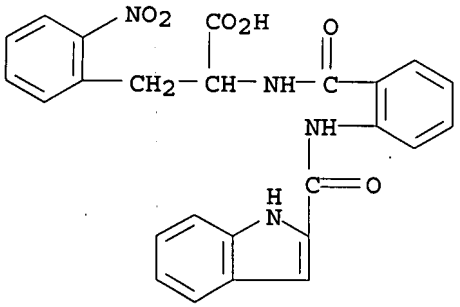


REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:460529 HCAPLUS
DOCUMENT NUMBER: 143:90252
TITLE: Anthranilic acid based CCK1 receptor antagonists: preliminary investigation on their second "touch point"
AUTHOR(S): Varnavas, Antonio; Lassiani, Lucia; Valenta, Valentina; Mennuni, Laura; Makovec, Francesco; Hadjipavlou-Litina, Dimitra
CORPORATE SOURCE: Department of Pharmaceutical Sciences, University of Trieste, Trieste, 34127, Italy
SOURCE: European Journal of Medicinal Chemistry (2005), 40(6), 563-581
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:90252

AB In this phase of structure-affinity relationship study of VL-0395, a new anthranilic acid based CCK1 selective antagonist, the authors propose a series of unnatural aminoacidic derivs. The result of this work is the identification of a new CCK ligand, which possesses an affinity (IC50 = 35 nm) one order of magnitude greater than the lead and, as a general rule, it points out how the hypothesized receptor pocket which accommodates the Phe residue allows much more structural modification than that interacting with the N-terminal group. Hence, the modification of the C-terminal pharmacophoric group of our lead VL-0395 can not only enhance the affinity of anthranilic acid derivs. but can modulate the selectivity for one CCK receptor subtype or afford mixed antagonists.

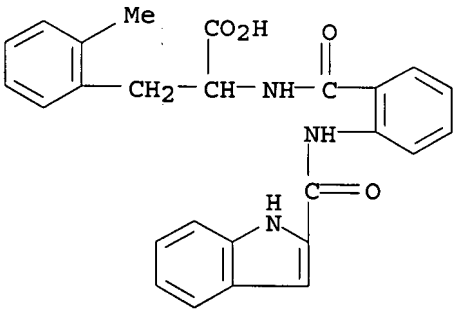
IT 657432-50-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(anthranilic acid based CCK1 receptor antagonists)
RN 657432-50-3 HCAPLUS
CN Phenylalanine, N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]-2-nitro- (9CI) (CA INDEX NAME)



IT 657432-44-5P 657432-45-6P 657432-46-7P
657432-47-8P 657432-48-9P 657432-49-0P
657432-51-4P 657432-52-5P 657432-81-0P
856570-78-0P 856570-79-1P 856570-80-4P
856570-81-5P 856570-82-6P 856570-83-7P
856570-84-8P 856570-85-9P 856570-86-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(anthranilic acid based CCK1 receptor antagonists)

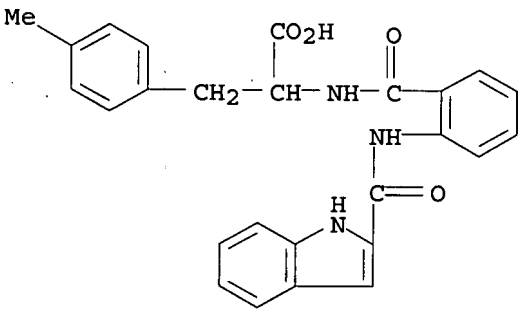
RN 657432-44-5 HCAPLUS

CN Phenylalanine, N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]-2-methyl- (9CI) (CA INDEX NAME)

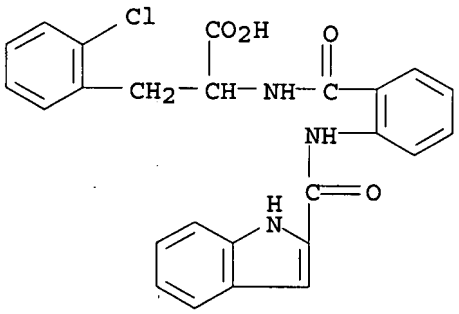


RN 657432-45-6 HCAPLUS

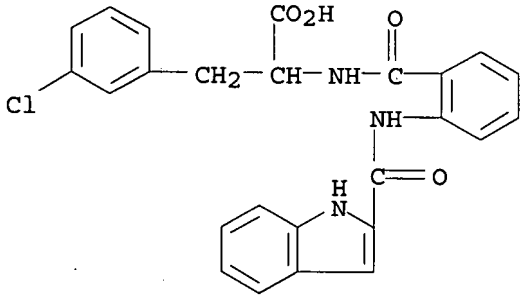
CN Phenylalanine, N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)



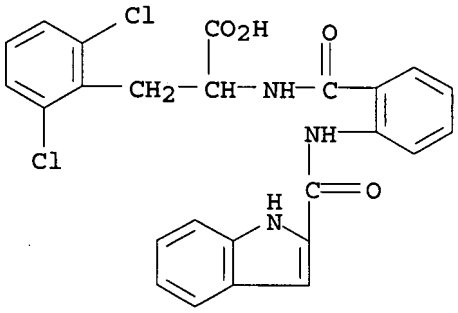
RN 657432-46-7 HCAPLUS
CN Phenylalanine, 2-chloro-N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



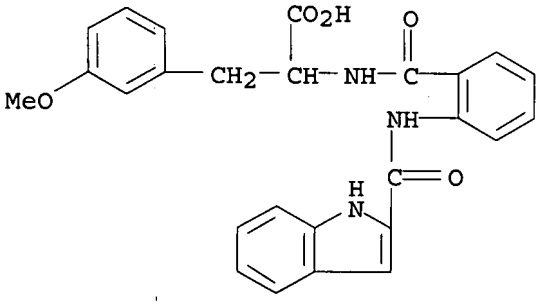
RN 657432-47-8 HCAPLUS
CN Phenylalanine, 3-chloro-N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



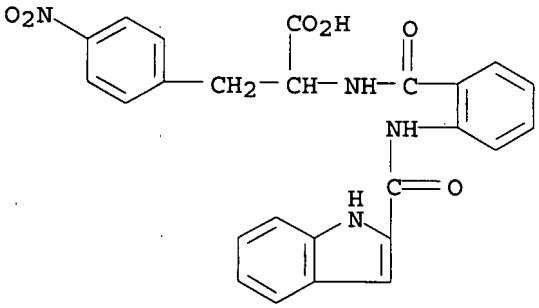
RN 657432-48-9 HCAPLUS
CN Phenylalanine, 2,6-dichloro-N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



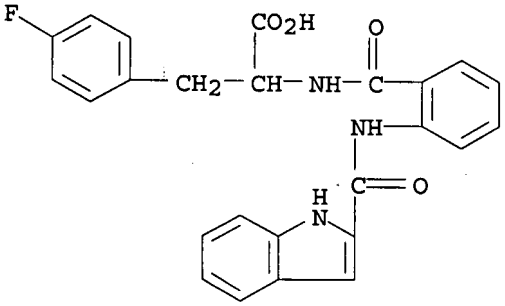
RN 657432-49-0 HCAPLUS
CN Phenylalanine, N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]-3-methoxy- (9CI) (CA INDEX NAME)



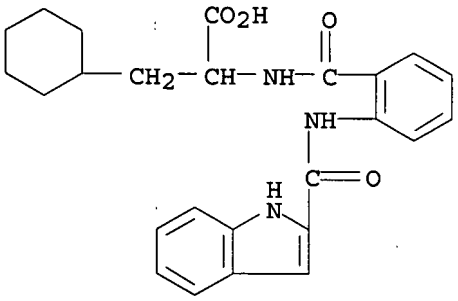
RN 657432-51-4 HCAPLUS
CN Phenylalanine, N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]-4-nitro- (9CI) (CA INDEX NAME)



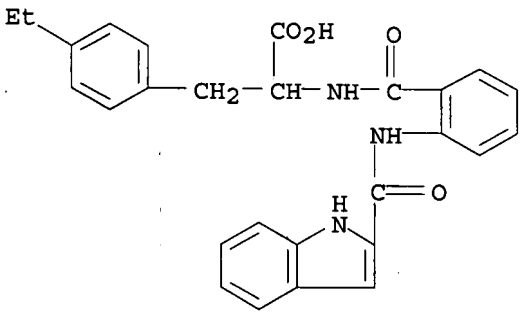
RN 657432-52-5 HCAPLUS
CN Phenylalanine, 4-fluoro-N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



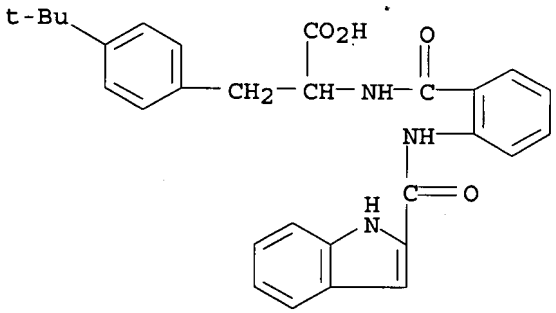
RN 657432-81-0 HCAPLUS
CN Cyclohexanepropanoic acid, α-[[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]amino]- (9CI) (CA INDEX NAME)



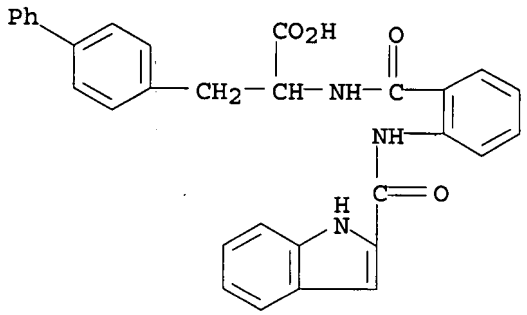
RN 856570-78-0 HCAPLUS
CN Phenylalanine, 4-ethyl-N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



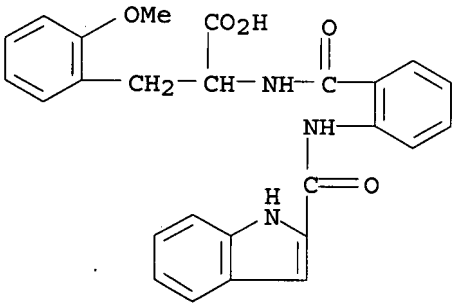
RN 856570-79-1 HCAPLUS
CN Phenylalanine, 4-(1,1-dimethylethyl)-N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



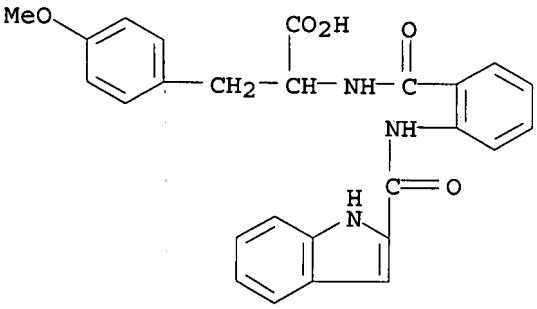
RN 856570-80-4 HCAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]amino]- (9CI) (CA INDEX NAME)



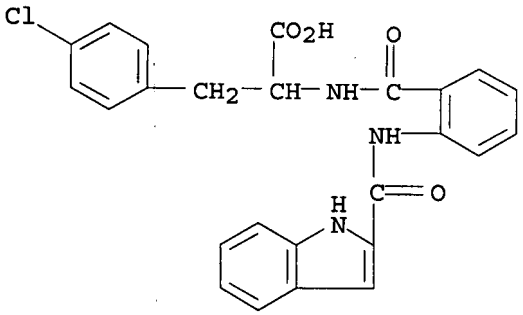
RN 856570-81-5 HCAPLUS
CN Phenylalanine, N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]-2-methoxy- (9CI) (CA INDEX NAME)



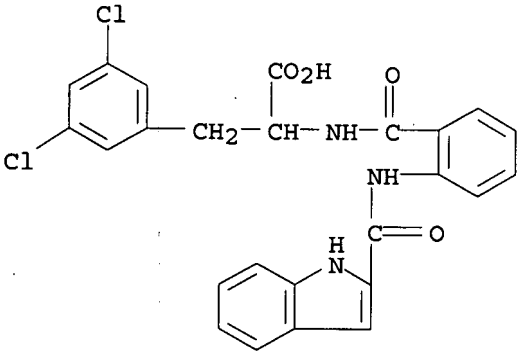
RN 856570-82-6 HCAPLUS
CN Tyrosine, N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]-O-methyl- (9CI) (CA INDEX NAME)



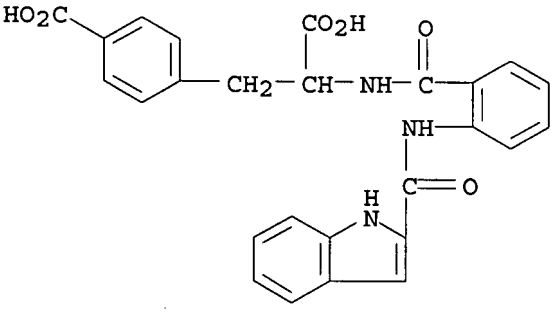
RN 856570-83-7 HCAPLUS
CN Phenylalanine, 4-chloro-N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



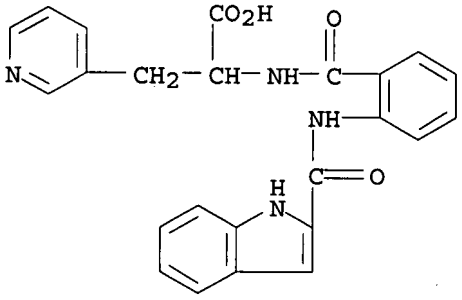
RN 856570-84-8 HCAPLUS
CN Phenylalanine, 3,5-dichloro-N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



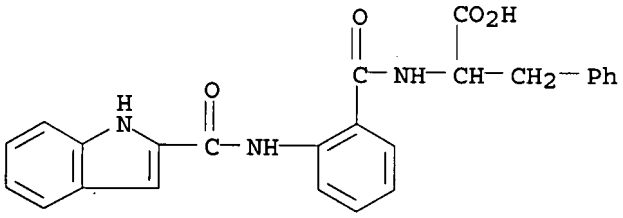
RN 856570-85-9 HCAPLUS
CN Phenylalanine, 4-carboxy-N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



RN 856570-86-0 HCAPLUS
CN 3-Pyridinepropanoic acid, α-[[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]amino]- (9CI) (CA INDEX NAME)



IT 620167-11-5, VL 0395
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anthranilic acid based CCK1 receptor antagonists)
RN 620167-11-5 HCAPLUS
CN Phenylalanine, N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



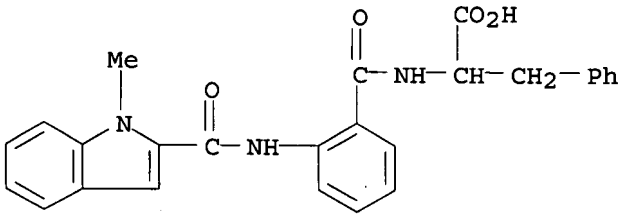
REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:153859 HCAPLUS
DOCUMENT NUMBER: 140:368090
TITLE: Anthranilic acid based CCK1 antagonists: the 2-indole moiety may represent a "needle" according to the recent homonymous concept
AUTHOR(S): Varnavas, Antonio; Lassiani, Lucia; Valenta, Valentina; Berti, Federico; Tontini, Andrea; Mennuni, Laura; Makovec, Francesco
CORPORATE SOURCE: Department of Pharmaceutical Sciences, University of Trieste, Trieste, 34127, Italy
SOURCE: European Journal of Medicinal Chemistry (2004), 39(1), 85-97
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:368090
AB Recently we described an innovative class of non-peptide CCK1 antagonists keeping appropriate pharmacophoric groups on the anthranilic acid employed as a mol. scaffold. The lead compound obtained, VL-0395, characterized by the presence of Phe and the 2-indole moiety at the C- and N-termini of anthranilic acid, resp., is endowed with submicromolar affinity towards CCK1 receptors. Thus, we have prepared and tested on CCK receptors a

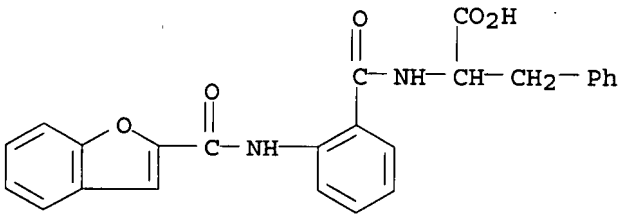
library of VL-0395 analogs in order to investigate the precise topol. and essential key interactions of the 2-indole group of the lead with the CCK1 receptor. The obtained results confirm that this group establishes very specific interactions with this receptor sub-site and may be viewed as a "needle" group.

IT 657432-38-7P 657432-42-3P 657432-43-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and CCK1 antagonistic activity of VL-0395 analogs)

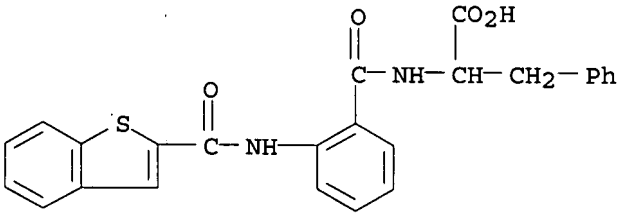
RN 657432-38-7 HCAPLUS
CN Phenylalanine, N-[2-[[(1-methyl-1H-indol-2-yl)carbonyl]amino]benzoyl]- (9CI) (CA INDEX NAME)



RN 657432-42-3 HCAPLUS
CN Phenylalanine, N-[2-[(2-benzofuranylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



RN 657432-43-4 HCAPLUS
CN Phenylalanine, N-[2-[(benzo[b]thien-2-ylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:143094 HCAPLUS

DOCUMENT NUMBER: 140:199743
TITLE: Preparation of substituted (2S)-(arylamino)-3-(biphenyl-4-yl)propionic acids as antagonists of factor IX for inhibiting the intrinsic pathway of blood coagulation
INVENTOR(S): Mjalli, Adnan M. M.; Andrews, Robert C.; Guo, Xiao-chuan; Christen, Daniel Peter; Gohimmukkula, Devi Reddy; Huang, Guoxiang; Rothlein, Robert; Tyagi, Sameer; Yaramasu, Tripura; Behme, Christopher
PATENT ASSIGNEE(S): Transtech Pharma, Inc., USA
SOURCE: PCT Int. Appl., 326 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014844	A2	20040219	WO 2003-US25045	20030808
WO 2004014844	A3	20050428		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2493008	A1	20040219	CA 2003-2493008	20030808
AU 2003265398	A1	20040225	AU 2003-265398	20030808
US 2004110832	A1	20040610	US 2003-637900	20030808
US 7122580	B2	20061017		
EP 1546089	A2	20050629	EP 2003-785150	20030808
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005535710	T	20051124	JP 2004-527986	20030808
CN 1703395	A	20051130	CN 2003-819267	20030808
US 2006276518	A1	20061207	US 2006-500225	20060807

PRIORITY APPLN. INFO.:

US 2002-402272P	P	20020809
US 2003-637900	A3	20030808
WO 2003-US25045	W	20030808

OTHER SOURCE(S): MARPAT 140:199743

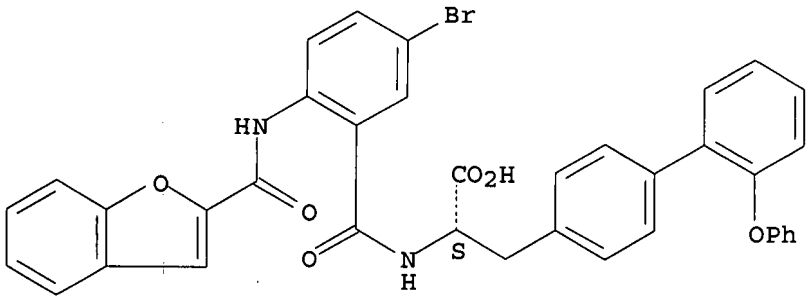
AB The title compds. Ar2XCH(VAr1)(CH2)cG [I; c = 0-2; G = H, CO2R1, CH2OR1, COR1, CR1:NOR2, an acid isostere (wherein R1, R2 = H, alkyl, aryl, etc.); V = (CH2)bO(CH2)a, (CH2)bNR7(CH2)a, (CH2)bO, (CH2)bNR7, (CH2)a, a bond (a = 0-2; b = 1-2; R7 = H, alkyl, aryl, etc.); X = NR8, COR8, NR8CO, etc. (R8 = H, alkyl, aryl, etc.); Ar1 = (un)substituted aryl, heteroaryl, cycloalkylaryl, etc.; Ar2 = (un)substituted aryl or heteroaryl], useful as antagonists, or more preferably, partial antagonists of factor IX and thus, may be used to inhibit the intrinsic pathway of blood coagulation, were prepared Thus, reacting Me 2-L-amino-3-biphenyl-4-yl-propionate with isoquinoline-3-carboxylic acid followed by hydrolysis afforded 81% 3-biphenyl-4-yl-(2S)-[(isoquinoline-3-carbonyl)amino]propionic acid. The compds. I inhibit factor IX with IC50 of less than 30 μM, and are useful in a variety of applications including the management, treatment and/or control of diseases caused in part by the intrinsic clotting pathway utilizing factor IX. Such diseases or disease states include

stroke, myocardial infarction, aneurysm surgery, and deep vein thrombosis associated with surgical procedures, long periods of confinement, and acquired or inherited pro-coagulant states. The pharmaceutical composition comprising the compound I is claimed.

IT 660827-53-2P 660827-54-3P 660827-55-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted (2S)-(arylamino)-3-(biphenyl-4-yl)propionic acids as antagonists of factor IX for inhibiting intrinsic pathway of blood coagulation)

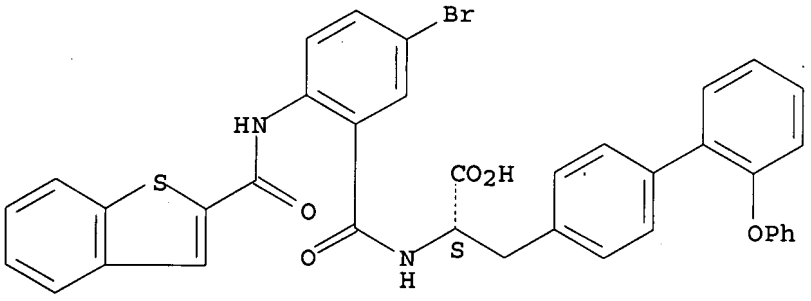
RN 660827-53-2 HCAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[[2-[(2-benzofuranylcarbonyl)amino]-5-bromobenzoyl]amino]-2'-phenoxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



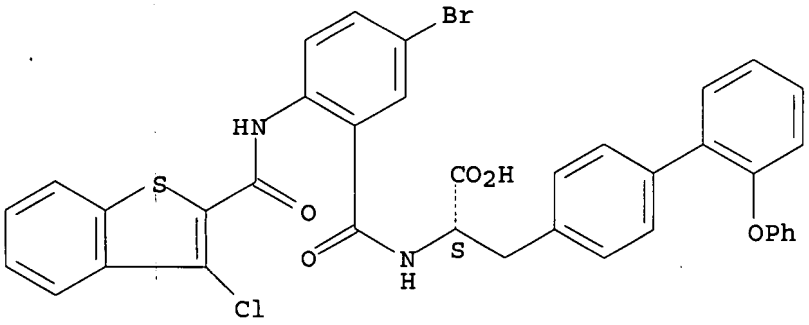
RN 660827-54-3 HCAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[[2-[(benzo[b]thien-2-ylcarbonyl)amino]-5-bromobenzoyl]amino]-2'-phenoxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 660827-55-4 HCAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[[5-bromo-2-[[(3-chlorobenzo[b]thien-2-yl)carbonyl]amino]benzoyl]amino]-2'-phenoxy-, (αS)- (9CI) (CA INDEX NAME)

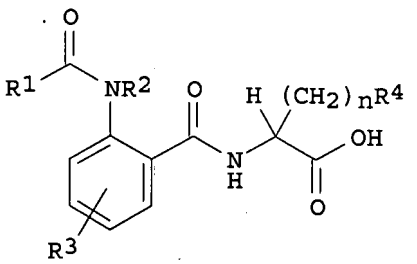
Absolute stereochemistry.



L8 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:120818 HCAPLUS
DOCUMENT NUMBER: 140:181804
TITLE: Preparation of anthranil amino acid derivatives having anticholecystokinin activity (anti-CCK-1)
INVENTOR(S): Makovec, Francesco; Varnavas, Antonio; Lassiani, Lucia; Rovati, Lucio Claudio
PATENT ASSIGNEE(S): Rotta Research Laboratorium S.P.A., Italy
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004013087	A1	20040212	WO 2003-IB2922	20030723
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IT 2002TO0674	A1	20040126	IT 2002-TO674	20020726
CA 2493789	A1	20040212	CA 2003-2493789	20030723
AU 2003253114	A1	20040223	AU 2003-253114	20030723
EP 1532105	A1	20050525	EP 2003-766505	20030723
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005533866	T	20051110	JP 2004-525597	20030723
US 2006111304	A1	20060525	US 2005-523075	20050125
PRIORITY APPLN. INFO.:			IT 2002-TO674 A	20020726
			WO 2003-IB2922 W	20030723

OTHER SOURCE(S): MARPAT 140:181804
GI



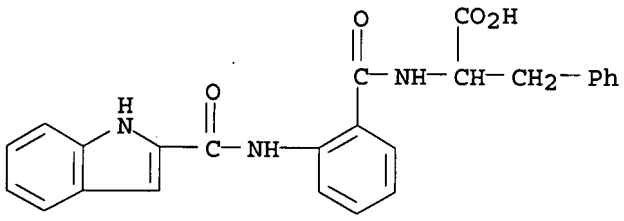
I

AB Amino acid anthranilic derivs. I [n is 0-7; R1 is (un)substituted 2- or 3-benzofuranyl, -benzothienyl, or -indolyl; R1 is H or Me; R3 is H, Me, F, Cl, CF3, or OMe; R4 is H, alkylthio, alkylsulfonyl, alkyl, cycloalkyl, adamantyl, (un)substituted Ph, etc. (R, S, or racemic)] were prepared as antagonists for the CCK receptors. Thus, racemic compound I (n = 1, R1 = 2-indolyl, R2 = R3 = H, R4 = Ph) was prepared by amidation reactions of DL-phenylalanine Et ester hydrochloride, isatoic anhydride and 2-indolecarboxylic acid, followed by saponification The product showed IC50 = 0.24 μmol/L for inhibition of binding of [125I]-BH-CCK-8 to isolated pancreatic acini.

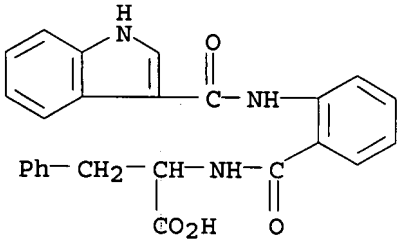
IT 620167-11-5P 620167-15-9P 657432-35-4P
657432-36-5P 657432-37-6P 657432-38-7P
657432-39-8P 657432-40-1P 657432-41-2P
657432-42-3P 657432-43-4P 657432-44-5P
657432-45-6P 657432-46-7P 657432-47-8P
657432-48-9P 657432-49-0P 657432-50-3P
657432-51-4P 657432-52-5P 657432-53-6P
657432-54-7P 657432-55-8P 657432-56-9P
657432-57-0P 657432-58-1P 657432-59-2P
657432-60-5P 657432-61-6P 657432-62-7P
657432-63-8P 657432-64-9P 657432-65-0P
657432-66-1P 657432-67-2P 657432-68-3P
657432-69-4P 657432-70-7P 657432-71-8P
657432-72-9P 657432-73-0P 657432-74-1P
657432-75-2P 657432-76-3P 657432-77-4P
657432-78-5P 657432-79-6P 657432-80-9P
657432-81-0P 657432-82-1P 657432-83-2P
657432-84-3P 657432-85-4P 657432-86-5P
657432-87-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of anthranil amino acid derivs. having anticholecystokinin activity (anti-CCK-1))

RN 620167-11-5 HCAPLUS

CN Phenylalanine, N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)

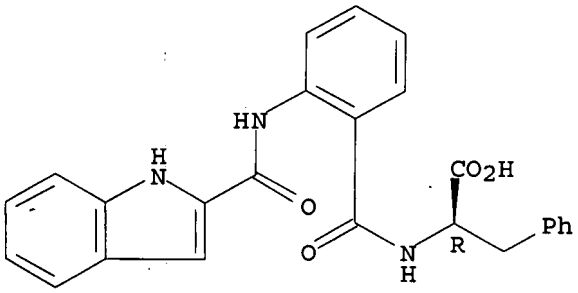


RN 620167-15-9 HCAPLUS
CN Phenylalanine, N-[2-[(1H-indol-3-ylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



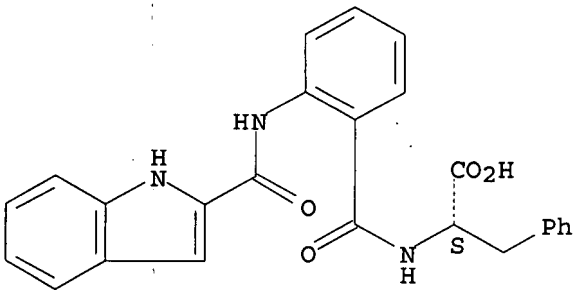
RN 657432-35-4 HCAPLUS
CN D-Phenylalanine, N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

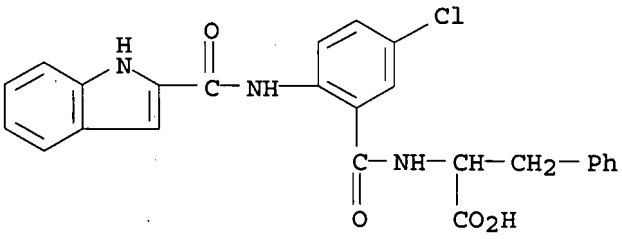


RN 657432-36-5 HCAPLUS
CN L-Phenylalanine, N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)

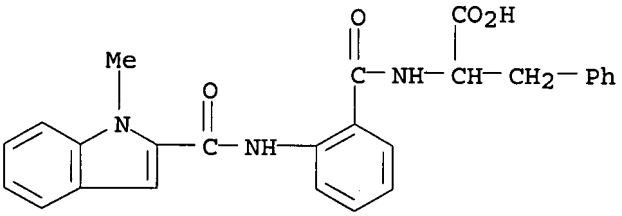
Absolute stereochemistry. Rotation (-).



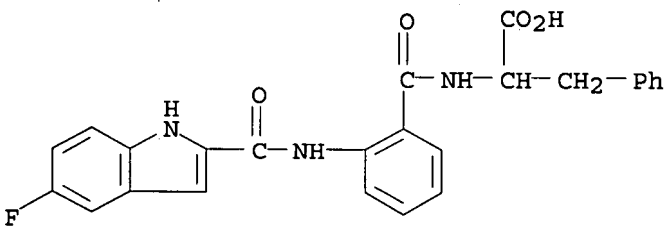
RN 657432-37-6 HCAPLUS
CN Phenylalanine, N-[5-chloro-2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



RN 657432-38-7 HCAPLUS
CN Phenylalanine, N-[2-[[(1-methyl-1H-indol-2-yl)carbonyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

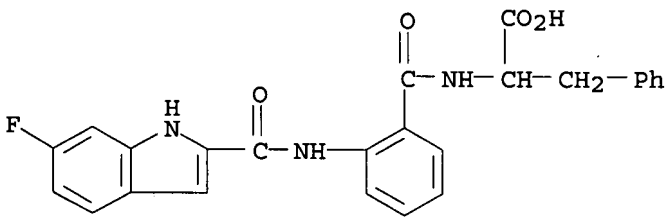


RN 657432-39-8 HCAPLUS
CN Phenylalanine, N-[2-[[(5-fluoro-1H-indol-2-yl)carbonyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

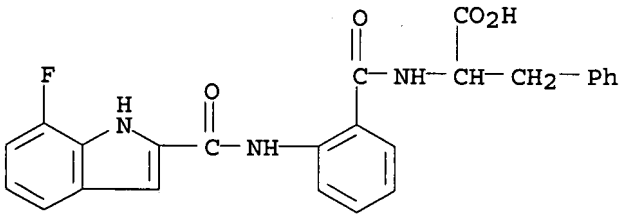


RN 657432-40-1 HCAPLUS
CN Phenylalanine, N-[2-[[(6-fluoro-1H-indol-2-yl)carbonyl]amino]benzoyl]-

(9CI) (CA INDEX NAME)



RN 657432-41-2 HCAPLUS
CN Phenylalanine, N-[2-[[(7-fluoro-1H-indol-2-yl)carbonyl]amino]benzoyl]-
(9CI) (CA INDEX NAME)



RN 657432-42-3 HCAPLUS
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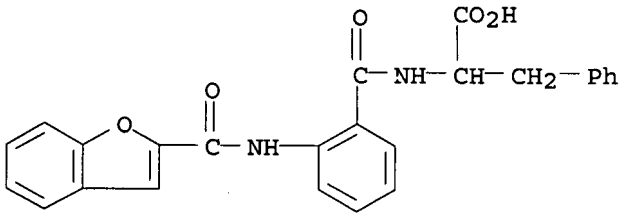
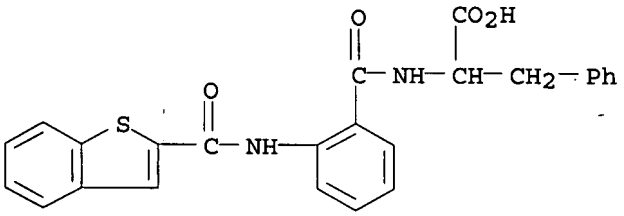
INDEX NAME)

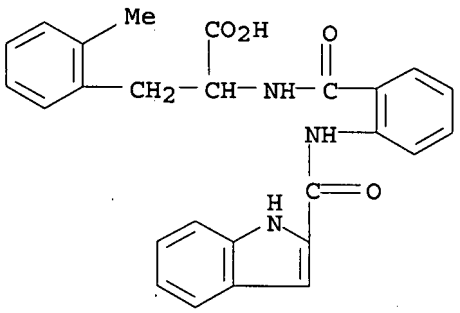


RN 657432-43-4 HCAPLUS
CN Phenylalanine, N-[2-[(benzo[b]thien-2-ylcarbonyl)amino]benzoyl]- (9CI)
(CA INDEX NAME)

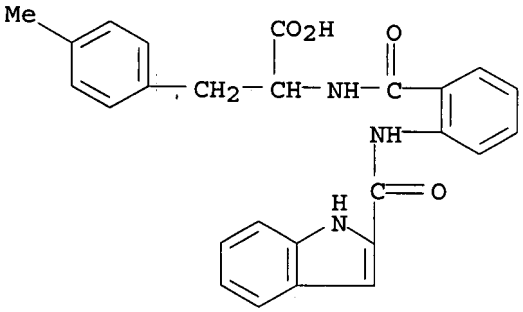


RN 657432-44-5 HCAPLUS
CN Phenylalanine, N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]-2-methyl- (9CI)

(CA INDEX NAME)



RN 657432-45-6 HCAPLUS
CN Phenylalanine, N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]-4-methyl- (9CI)
(CA INDEX NAME)



RN 657432-46-7 HCAPLUS
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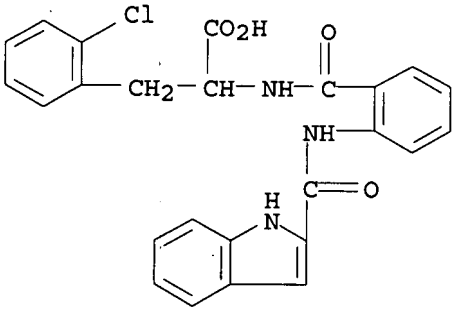
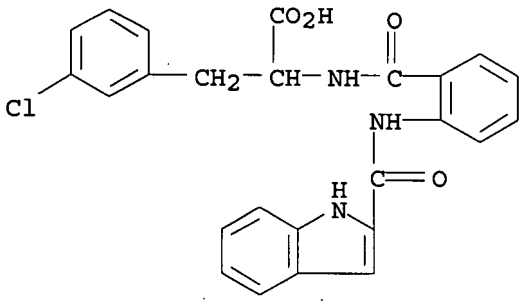
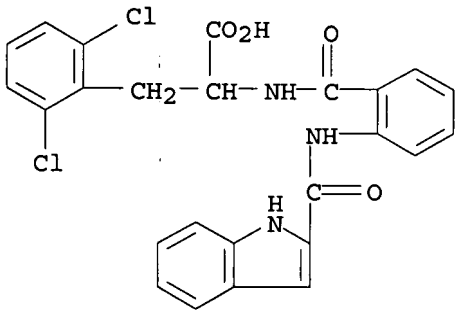
(CA INDEX NAME)



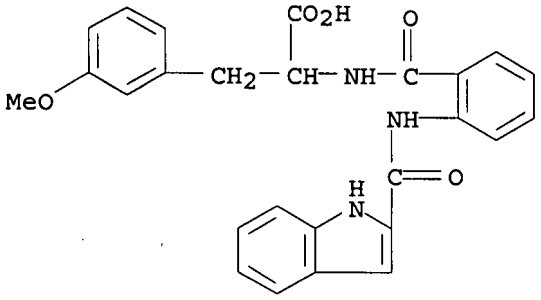
RN 657432-47-8 HCAPLUS
CN Phenylalanine, 3-chloro-N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]- (9CI)
(CA INDEX NAME)



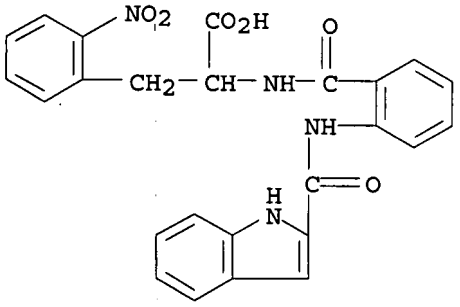
RN 657432-48-9 HCAPLUS
CN Phenylalanine, 2,6-dichloro-N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



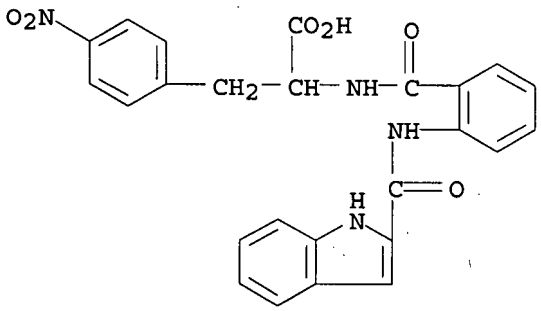
RN 657432-49-0 HCAPLUS
CN Phenylalanine, N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]-3-methoxy- (9CI) (CA INDEX NAME)



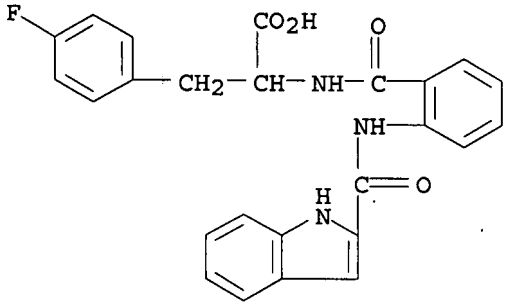
RN 657432-50-3 HCAPLUS
CN Phenylalanine, N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]-2-nitro- (9CI) (CA INDEX NAME)



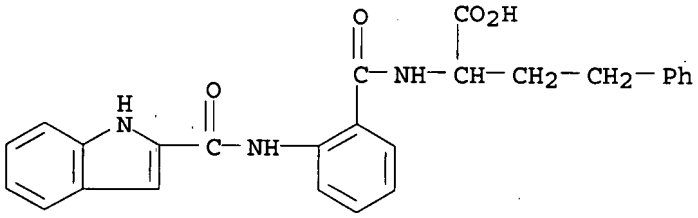
RN 657432-51-4 HCAPLUS
CN Phenylalanine, N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]-4-nitro- (9CI) (CA INDEX NAME)



RN 657432-52-5 HCAPLUS
CN Phenylalanine, 4-fluoro-N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)

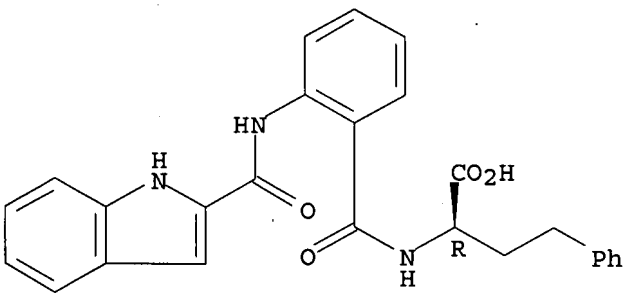


RN 657432-53-6 HCAPLUS
CN Benzenebutanoic acid, α-[[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]amino]- (9CI) (CA INDEX NAME)



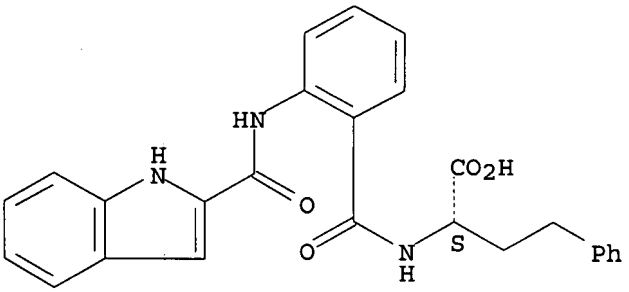
RN 657432-54-7 HCAPLUS
CN Benzenebutanoic acid, α-[[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

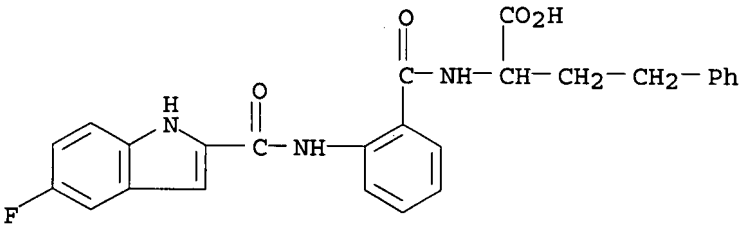


RN 657432-55-8 HCAPLUS
CN Benzenebutanoic acid, α-[[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]amino]-, .(αS)- (9CI) (CA INDEX NAME)

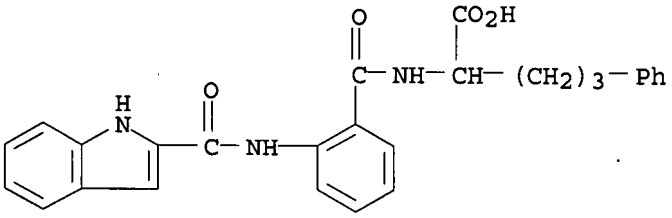
Absolute stereochemistry. Rotation (-).



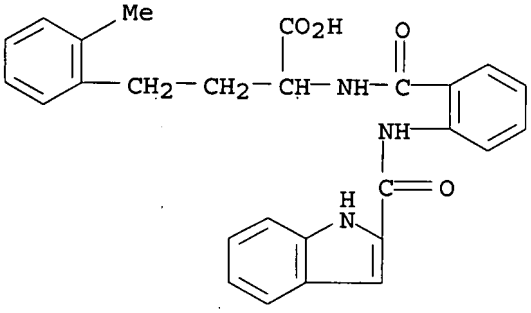
RN 657432-56-9 HCAPLUS
CN Benzenebutanoic acid, α-[[2-[[(5-fluoro-1H-indol-2-yl)carbonyl]amino]benzoyl]amino]- (9CI) (CA INDEX NAME)



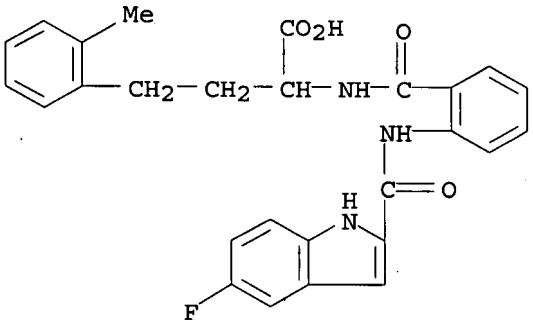
RN 657432-57-0 HCAPLUS
CN Benzenepentanoic acid, α-[[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]amino]- (9CI) (CA INDEX NAME)



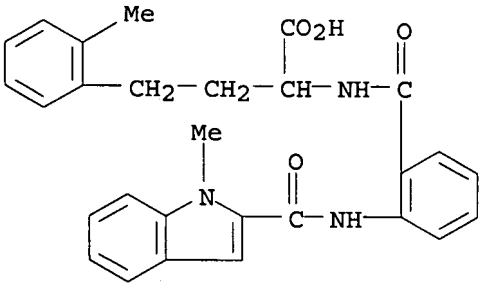
RN 657432-58-1 HCAPLUS
CN Benzenebutanoic acid, α-[[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]amino]-2-methyl- (9CI) (CA INDEX NAME)



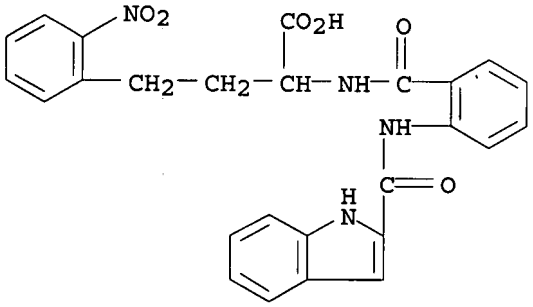
RN 657432-59-2 HCAPLUS
CN Benzenebutanoic acid, α-[[2-[[(5-fluoro-1H-indol-2-yl)carbonyl]amino]benzoyl]amino]-2-methyl- (9CI) (CA INDEX NAME)



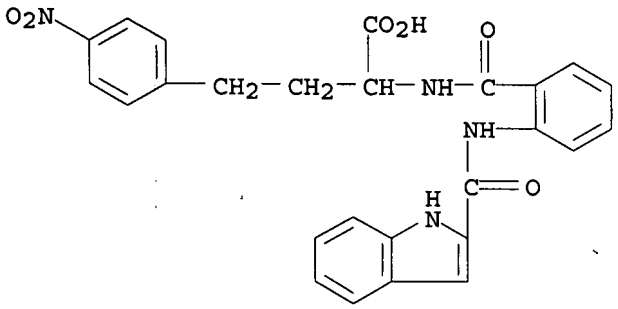
RN 657432-60-5 HCAPLUS
CN Benzenebutanoic acid, 2-methyl-α-[[2-[[(1-methyl-1H-indol-2-yl)carbonyl]amino]benzoyl]amino]- (9CI) (CA INDEX NAME)



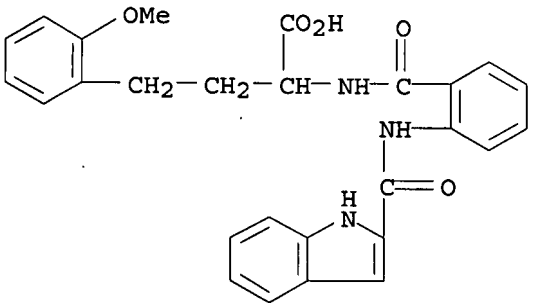
RN 657432-61-6 HCAPLUS
CN Benzenebutanoic acid, α-[[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]amino]-2-nitro- (9CI) (CA INDEX NAME)



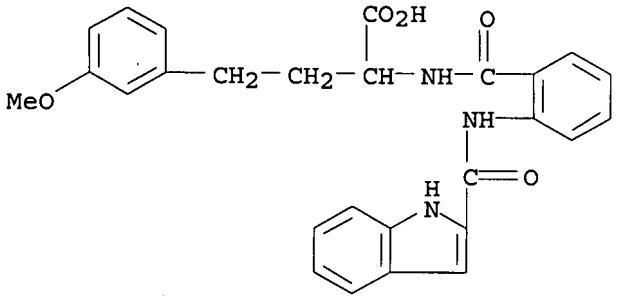
RN 657432-62-7 HCAPLUS
CN Benzenebutanoic acid, α-[[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]amino]-4-nitro- (9CI) (CA INDEX NAME)



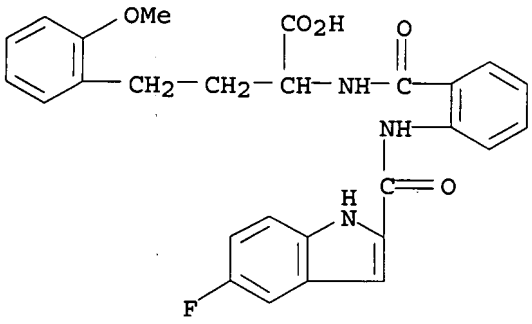
RN 657432-63-8 HCAPLUS
CN Benzenebutanoic acid, α-[[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]amino]-2-methoxy- (9CI) (CA INDEX NAME)



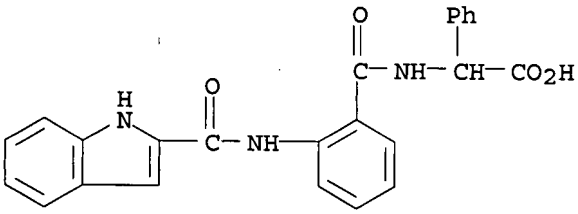
RN 657432-64-9 HCAPLUS
CN Benzenebutanoic acid, α-[[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]amino]-3-methoxy- (9CI) (CA INDEX NAME)



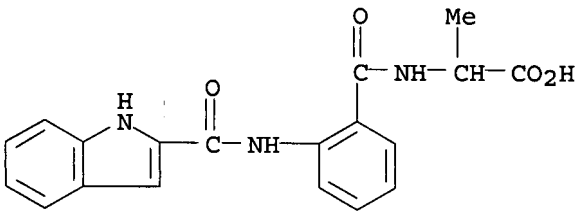
RN 657432-65-0 HCAPLUS
CN Benzenebutanoic acid, α-[[2-[[(5-fluoro-1H-indol-2-yl)carbonyl]amino]benzoyl]amino]-2-methoxy- (9CI) (CA INDEX NAME)



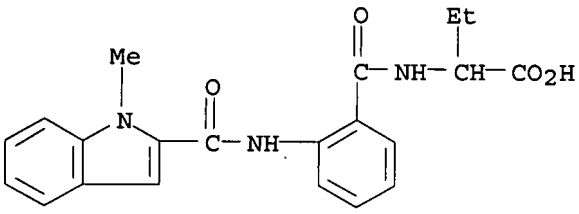
RN 657432-66-1 HCAPLUS
CN Benzeneacetic acid, α-[[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]amino]- (9CI) (CA INDEX NAME)



RN 657432-67-2 HCAPLUS
CN Alanine, N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)

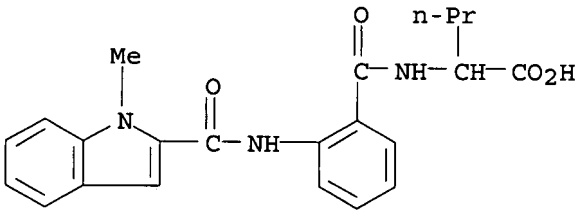


RN 657432-68-3 HCAPLUS
CN Butanoic acid, 2-[[2-[[(1-methyl-1H-indol-2-yl)carbonyl]amino]benzoyl]amino]- (9CI) (CA INDEX NAME)

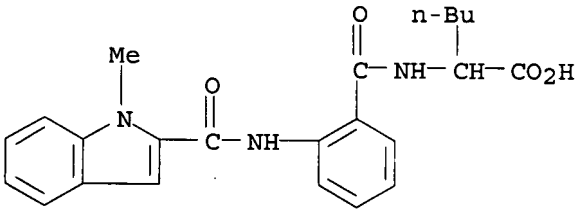


RN 657432-69-4 HCAPLUS

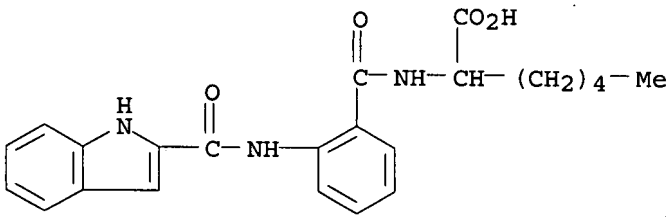
CN Norvaline, N-[2-[[(1-methyl-1H-indol-2-yl)carbonyl]amino]benzoyl]- (9CI) (CA INDEX NAME)



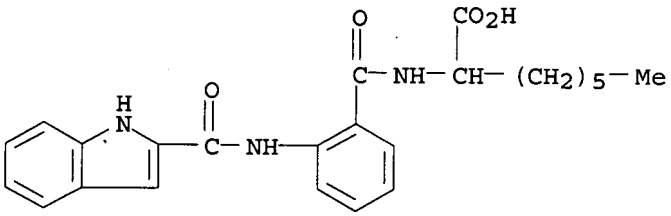
RN 657432-70-7 HCAPLUS
CN Norleucine, N-[2-[[(1-methyl-1H-indol-2-yl)carbonyl]amino]benzoyl]- (9CI) (CA INDEX NAME)



RN 657432-71-8 HCAPLUS
CN Heptanoic acid, 2-[[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]amino]- (9CI) (CA INDEX NAME)

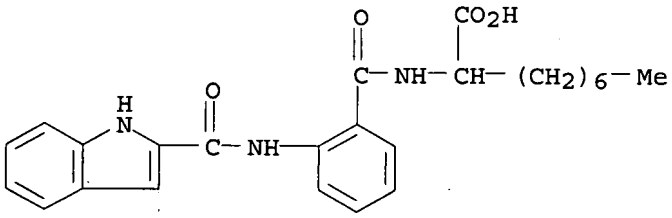


RN 657432-72-9 HCAPLUS
CN Octanoic acid, 2-[[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]amino]- (9CI) (CA INDEX NAME)

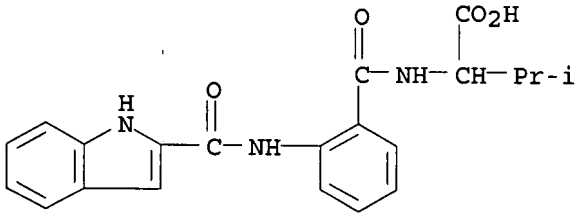


RN 657432-73-0 HCAPLUS

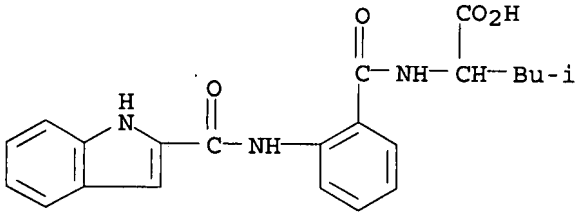
CN Nonanoic acid, 2-[[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]amino]- (9CI) (CA INDEX NAME)



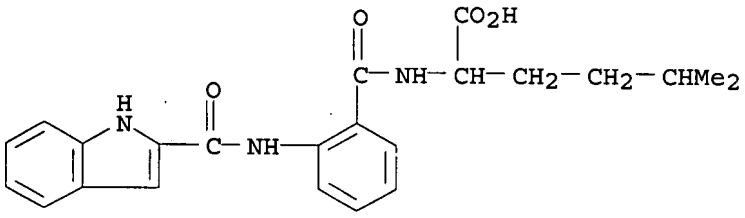
RN 657432-74-1 HCAPLUS
CN Valine, N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



RN 657432-75-2 HCAPLUS
CN Leucine, N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)

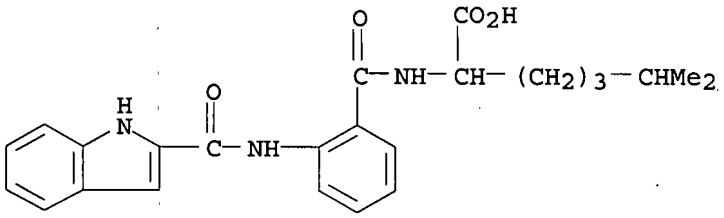


RN 657432-76-3 HCAPLUS
CN Norleucine, N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]-5-methyl- (9CI) (CA INDEX NAME)

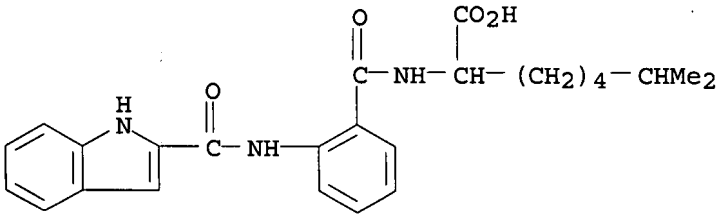


RN 657432-77-4 HCAPLUS

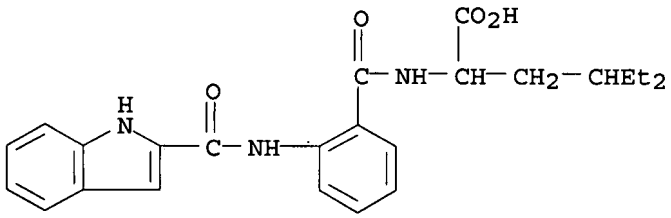
CN Heptanoic acid, 2-[[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]amino]-6-methyl- (9CI) (CA INDEX NAME)



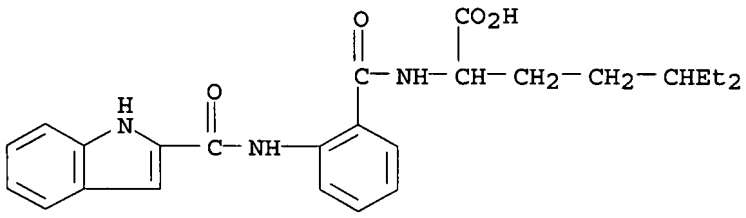
RN 657432-78-5 HCAPLUS
CN Octanoic acid, 2-[[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]amino]-7-methyl- (9CI) (CA INDEX NAME)



RN 657432-79-6 HCAPLUS
CN Norleucine, 4-ethyl-N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)

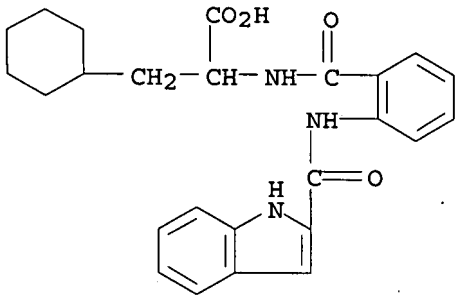


RN 657432-80-9 HCAPLUS
CN Heptanoic acid, 5-ethyl-2-[[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]amino]- (9CI) (CA INDEX NAME)

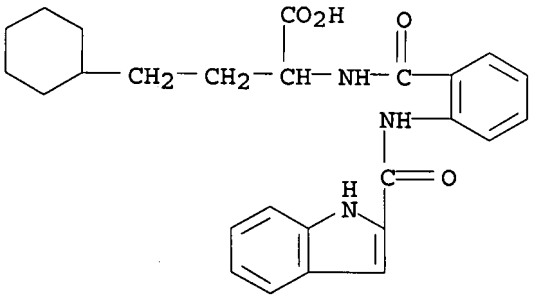


RN 657432-81-0 HCAPLUS

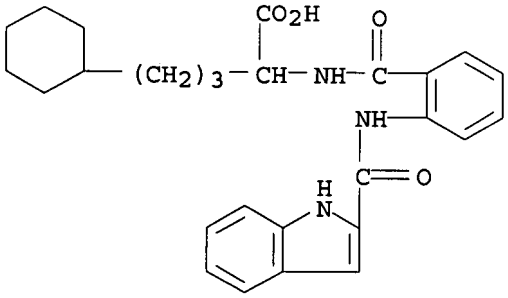
CN Cyclohexanepropanoic acid, α-[[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]amino]- (9CI) (CA INDEX NAME)



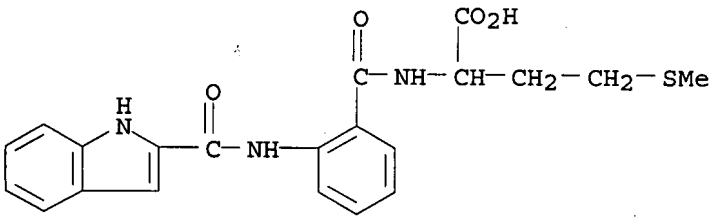
RN 657432-82-1 HCAPLUS
CN Cyclohexanebutanoic acid, α-[[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]amino]- (9CI) (CA INDEX NAME)



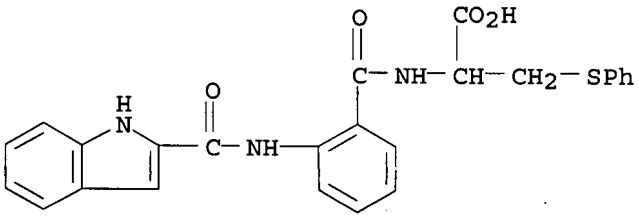
RN 657432-83-2 HCAPLUS
CN Cyclohexanepentanoic acid, α-[[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]amino]- (9CI) (CA INDEX NAME)



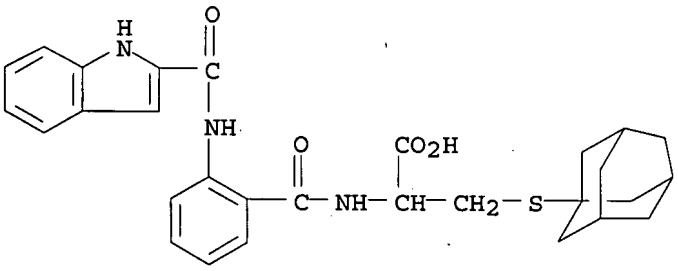
RN 657432-84-3 HCAPLUS
CN Methionine, N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



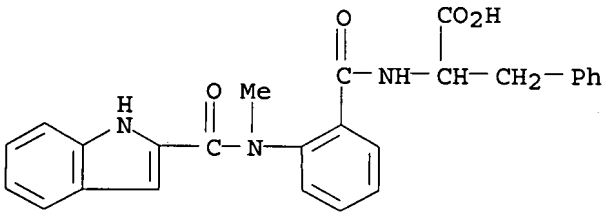
RN 657432-85-4 HCAPLUS
CN Cysteine, N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]-S-phenyl- (9CI) (CA INDEX NAME)



RN 657432-86-5 HCAPLUS
CN Cysteine, N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]-S-tricyclo[3.3.1.13,7]dec-1-yl- (9CI) (CA INDEX NAME)



RN 657432-87-6 HCAPLUS
CN Phenylalanine, N-[2-[(1H-indol-2-ylcarbonyl)methylamino]benzoyl]- (9CI) (CA INDEX NAME)



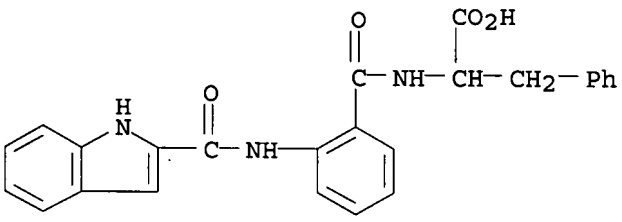
L8 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:52789 HCAPLUS
DOCUMENT NUMBER: 139:357992
TITLE: Anthranilic acid derivatives: a new class of non-peptide CCK1 receptor antagonists
AUTHOR(S): Varnavas, Antonio; Lassiani, Lucia; Valenta, Valentina; Berti, Federico; Mennuni, Laura; Makovec, Francesco
CORPORATE SOURCE: Department of Pharmaceutical Sciences, University of Trieste, Trieste, 34127, Italy
SOURCE: Bioorganic & Medicinal Chemistry (2003), 11(5), 741-751
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:357992

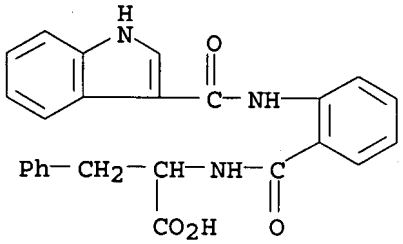
AB Having successfully obtained new CCK1 ligands holding appropriate groups on the anthranilic acid dimer used as mol. scaffold we were interested in increasing their micromolar affinity for the CCK1 receptors by modifying the spatial relationship of the main pharmacophoric groups. Since, we have proposed simplified analogs reducing the anthranilic acid dimer to a monomer. In this stage of our research program we have prepared and tested on CCK receptors a series of N-substituted anthranilic acid derivs. keeping a Phe residue at the C-terminal site. The indole-2-carbonyl group imparts the best CCK1 receptor binding affinity (compound 1: IC50=197.5 nM) while a sharp decrease in binding affinity is observed for the other indole containing derivs. Moreover, in order to support the different binding behavior observed for the synthesized compds., a conformational investigation was carried out. Finally, on the basis of the main pharmacophoric groups of the obtained new lead compound (1) (coded VL-0395) a receptor binding hypothesis has been provided.

IT 620167-11-5P 620167-15-9P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of anthranilic acid derivs. as a new class of non-peptide CCK1 receptor antagonists)

RN 620167-11-5 HCAPLUS
CN Phenylalanine, N-[2-[(1H-indol-2-ylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



RN 620167-15-9 HCAPLUS
CN Phenylalanine, N-[2-[(1H-indol-3-ylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l7 ibib abs tot

L7 ANSWER 1 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1041251 HCAPLUS
DOCUMENT NUMBER: 145:369901
TITLE: Protein aggregation inhibitors and protein aggregate depolymerizing compounds for the treatment of neurodegenerative conditions
INVENTOR(S): Mandelkow, Eckhard; Mandelkow, Eva-Maria; Biernat, Jacek; Bergen, Martin Von; Pickhardt, Marcus
PATENT ASSIGNEE(S): Max-Planck-Gesellschaft Zur Forderungder Wissenschaften, e.v., Germany
SOURCE: U.S. Pat. Appl. Publ., 71pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006223812	A1	20061005	US 2006-351884	20060210
WO 2006007864	A1	20060126	WO 2004-EP8031	20040717

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: WO 2004-EP8031 A2 20040717
US 2005-652284P P 20050211
OTHER SOURCE(S): MARPAT 145:369901
AB The invention discloses the use of compds. capable of inhibiting protein aggregate formation and capable of depolymg. protein aggregates for the preparation of a pharmaceutical composition for treating neurodegenerative conditions, e.g. Alzheimer's disease.

L7 ANSWER 2 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:277403 HCAPLUS

DOCUMENT NUMBER: 144:480423
TITLE: Anthranilic Acid Based CCK1 Receptor Antagonists and CCK-8 Have a Common Step in Their "Receptor Desmodynamic Processes"
AUTHOR(S): De Luca, Stefania; Saviano, Michele; Lassiani, Lucia; Yannakopoulou, Konstantina; Stefanidou, Penny; Aloj, Luigi; Morelli, Giancarlo; Varnavas, Antonio
CORPORATE SOURCE: Interuniversity Research Center on Bioactive Peptides (CIRPeB), University of Naples Federico II, Naples, I-80134, Italy
SOURCE: Journal of Medicinal Chemistry (2006), 49(8), 2456-2462
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The interaction between the 1-47 N-terminus of the CCK1-R and the anthranilic acid based antagonists has been investigated by fluorescence spectroscopy. These antagonists interact with W39 of the N-terminal domain of the CCK1-R like that of the endogenous ligand CCK-8. This specific interaction was not found in other nonpeptide ligands of the CCK1-R. Conformational studies, using NMR and energy minimization procedures, have allowed formulation of a new hypothesis on the CCK1-R binding mode of the anthranilic antagonists.
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:74852 HCAPLUS
DOCUMENT NUMBER: 144:164276
TITLE: Treating neurodegenerative conditions
INVENTOR(S): Mandelkow, Eckard; Mandelkow, Eva-Maria; Biernat, Jacek; Bergen, Martin V.; Pickhardt, Markus
PATENT ASSIGNEE(S): Max Planck Geselllschaft zur Foerderung der Wissenschaft, Germany
SOURCE: PCT Int. Appl., 136 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006007864	A1	20060126	WO 2004-EP8031	20040717
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006223812	A1	20061005	US 2006-351884	20060210

PRIORITY APPLN. INFO.: WO 2004-EP8031 A2 20040717
US 2005-652284P P 20050211

OTHER SOURCE(S): MARPAT 144:164276

AB The present invention relates to the use of compds. capable of inhibiting protein aggregate formation and capable of depolymg. protein aggregates for the preparation of a pharmaceutical composition for treating neurodegenerative conditions such as Alzheimer disease.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1262237 HCAPLUS
DOCUMENT NUMBER: 144:35272
TITLE: Augmenting B cell depletion by promoting intravascular access
INVENTOR(S): Chan, Andrew C.; Gong, Qian; Martin, Flavius
PATENT ASSIGNEE(S): Genentech, Inc., USA
SOURCE: PCT Int. Appl., 165 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005113003	A2	20051201	WO 2005-US12984	20050415
WO 2005113003	A3	20060316		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005244751	A1	20051201	AU 2005-244751	20050415
CA 2563432	A1	20051201	CA 2005-2563432	20050415
US 2005276803	A1	20051215	US 2005-107028	20050415
EP 1735000	A2	20061227	EP 2005-778447	20050415
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.: US 2004-563263P P 20040416
WO 2005-US12984 W 20050415

OTHER SOURCE(S): MARPAT 144:35272

AB The present invention provides methods of augmenting B cell depletion by promoting intravascular access of B cell subsets sequestered in lymphoid tissues rendering the B cells sensitive to killing mediated by the B cell depleting agent. Certain B lymphocytes residing in tissues and organs, in particular those in the marginal zone of the spleen, are resistant to killing with anti-human CD20 antibody, even though these cells express sufficient levels of CD20 on their surface and are sats. with the administered anti-CD20 antibody. Promoting the egress of these B cells from the tissues in which they are resident into the vascular system and/or prolonging their presence in circulation renders them sensitive to killing by the anti-CD20 antibody. On approach to improving intravascular access of these sequestered B cells is to mobilize them into the circulation with antagonists of integrins that tether these B cells to

certain zones in the lymphoid tissues. Thus, B cell mobilizing agents may comprise antibodies binding to the integrin α4 subunit (in α4β1 or α4β7) or αL subunit (αLβ2), or small mol. antagonists of α4 or αL. Depletion of the mobilized B cells is achieved using antagonists of B cell surface markers (CD20, CD22, CD52). Methods of treating B cell disorders by this approach are also provided, including B cell neoplasms and autoimmune diseases.

L7 ANSWER 5 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:961951 HCAPLUS
DOCUMENT NUMBER: 143:266810
TITLE: Preparation of cyclopenta[c]pyrrolylamine derivatives as modulators of chemokine receptors
INVENTOR(S): Batt, Douglas G.; Carter, Percy H.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

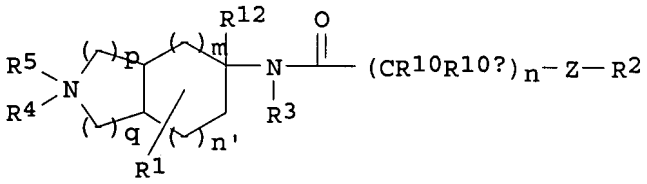
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005079496	A2	20050901	WO 2005-US5245	20050218
WO 2005079496	A3	20060810		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2005227960	A1	20051013	US 2005-60250	20050217
PRIORITY APPLN. INFO.:			US 2004-545921P	P 20040219

OTHER SOURCE(S): MARPAT 143:266810
GI



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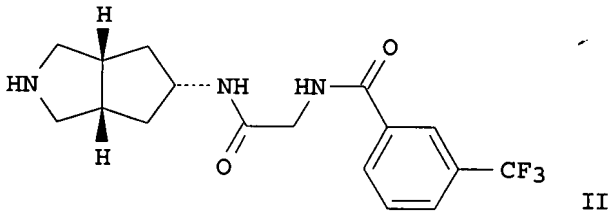


II

AB Title compds. represented by the formula I [wherein X = O or S; Z = a bond, C(O), (un)substituted amino, etc.; R1 = H, (un)substituted alkyl, alkenyl, (hetero)aryl, etc.; R2 = (un)substituted (hetero)aryl; R3 = H, Me or Et; R4 = absent, H, alkyl, etc.; R5 = H, alkyl, alkenyl, etc.; R10, R10a = independently H or (un)substituted alkyl; R12 = H or alkyl; m = 0 or 1; n = 0-3; p = 0 or 1; q = 1-3; with the proviso; and their stereoisomers or pharmaceutically acceptable salts thereof] were prepared as chemokine receptor (CCR) modulators. For example, II was given in a multi-step synthesis starting from 5-oxooctahydrocyclopenta[c]pyrrole-2-carboxylic acid tert-Bu ester. The assays of the modulators of chemokine receptor activity, such as antagonism of MCP-1 binding to human PBMC and antagonism of MCP-1-induced calcium influx, were described. Thus, I and their pharmaceutical compns. are useful as chemokine receptor modulators, especially CCR2 modulators, for the treatment of CCR-2 mediated inflammatory diseases or disorders (no data).

L7 ANSWER 6 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:890071 HCAPLUS
DOCUMENT NUMBER: 143:359427
TITLE: N-terminal anthranoyl-phenylalanine derivatives as CCK1 receptor antagonists: The final approach
AUTHOR(S): Varnavas, A.; Lassiani, L.; Valenta, V.; Ciogli, A.; Gasparrini, F.; Mennuni, L.; Makovec, F.
CORPORATE SOURCE: Department of Pharmaceutical Sciences, University of Trieste, Trieste, 34127, Italy
SOURCE: Medicinal Chemistry (2005), 1(5), 501-517
CODEN: MCEHAJ; ISSN: 1573-4064
PUBLISHER: Bentham Science Publishers Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Starting from the lead compound, VL-0395, an anthranilic acid based CCK1 receptor antagonist, and following the well established "step by step" lead investigation strategy, the authors describe the final step of the anthranilic acid N-terminal optimization. Improvements for both affinity and selectivity towards CCK1 receptors have been accomplished through introduction of the fluoro substituent at C-5 and C-7 position of the indole ring together with the appropriate configuration of the aminoacidic chiral center.
REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:460529 HCAPLUS
DOCUMENT NUMBER: 143:90252
TITLE: Anthranilic acid based CCK1 receptor antagonists: preliminary investigation on their second "touch point"
AUTHOR(S): Varnavas, Antonio; Lassiani, Lucia; Valenta, Valentina; Mennuni, Laura; Makovec, Francesco; Hadjipavlou-Litina, Dimitra
CORPORATE SOURCE: Department of Pharmaceutical Sciences, University of Trieste, Trieste, 34127, Italy
SOURCE: European Journal of Medicinal Chemistry (2005), 40(6), 563-581
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:90252

AB In this phase of structure-affinity relationship study of VL-0395, a new anthranilic acid based CCK1 selective antagonist, the authors propose a series of unnatural aminoacidic derivs. The result of this work is the identification of a new CCK ligand, which possesses an affinity (IC50 = 35 nm) one order of magnitude greater than the lead and, as a general rule, it points out how the hypothesized receptor pocket which accommodates the Phe residue allows much more structural modification than that interacting with the N-terminal group. Hence, the modification of the C-terminal pharmacophoric group of our lead VL-0395 can not only enhance the affinity of anthranilic acid derivs. but can modulate the selectivity for one CCK receptor subtype or afford mixed antagonists.

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:216795 HCAPLUS
DOCUMENT NUMBER: 142:297977
TITLE: Preparation of N-acylated 1,2-diamino-3-hydroxyhexanes as modulators of CCR2 chemokine receptor activity
INVENTOR(S): Carter, Percy H.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 215 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005021499	A1	20050310	WO 2004-US27379	20040820
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005065147	A1	20050324	US 2004-922406	20040819
EP 1667966	A1	20060614	EP 2004-781964	20040820
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				

PRIORITY APPLN. INFO.: US 2003-496775P P 20030821
WO 2004-US27379 W 20040820

OTHER SOURCE(S): CASREACT 142:297977; MARPAT 142:297977

AB R1R17NCR6R7(CR8R9)m(CR10R11)lCR12R13NHCO(CR14R14a)nZR2 [Z = bond, CO, CONR15, NR15, NR15SO2, O, S, SO, SO2, etc.; R1 = H, (substituted) alkyl, alkenyl, alkynyl; R2 = (substituted) aryl, heteroaryl; R3 = H, (substituted) carbocyclyl, heterocyclyl, (CR2)qOH, etc.; R = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, etc.; q = 1-4; R3R12, R6R7, R8R9, R10R11 = atoms to form (substituted) cycloalkyl, lactam, lactone rings; R6-R12 = H, alkyl, alkenyl, alkynyl, (CR2)qOH, etc.; R14, R14a = H, (substituted) alkyl; R14R14a = atoms to form a cycloalkyl ring; l, m = 0, 1; n = 1, 2; q = 1-4], were prepared for the prevention of asthma, multiple sclerosis, artherosclerosis, and rheumatoid arthritis (no data). Thus, (2S,3S)-(2-amino-3-hydroxyhex-4-ynyl)carbamic acid benzyl ester in

CH2Cl2 containing diisopropylethylamine at 0° was treated with [2-[(azetidine-1-carbonyl)amino]-5-trifluoromethylbenzoylamino]acetic acid and HATU followed by stirring overnight at room temperature to give amide coupling product, which was hydrogenated in MeOH over Pd/C to give azetidine-1-carboxylic acid (1S,2S)-[2-[[(1-aminomethyl-2-hydroxypentylcarbamoyl)methyl]carbamoyl]-4-trifluoromethylphenyl]amide. This was stirred overnight with acetone in HC(OMe)3 to give a residue which was stirred 1 h with NaBH4 in MeOH to give azetidine-1-carboxylic acid (1S,2S)-[2-[[[1-(isopropylaminomethyl)-2-hydroxypentylcarbamoyl]methyl]carbamoyl]-4-trifluoromethylphenyl]amide.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

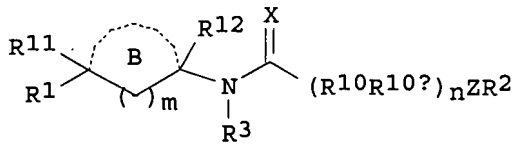
L7 ANSWER 9 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:216605 HCAPLUS
DOCUMENT NUMBER: 142:316496
TITLE: Preparation of substituted cycloalkylamine derivatives as modulators of chemokine receptor activity
INVENTOR(S): Carter, Percy H.; Cherney, Robert J.; Batt, Douglas G.; Brown, Gregory D.; Duncia, John V.; Gardner, Daniel S.; Yang, Michael G.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 440 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020899	A2	20050310	WO 2004-US27195	20040820
WO 2005020899	A3	20050630		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005054626	A1	20050310	US 2004-923538	20040819
EP 1656138	A2	20060517	EP 2004-781805	20040820
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2007502842	T	20070215	JP 2006-524091	20040820
NO 2006000719	A	20060427	NO 2006-719	20060214

PRIORITY APPLN. INFO.:

US 2003-496974P	P	20030821
US 2004-923538	A	20040819
WO 2004-US27195	W	20040820

OTHER SOURCE(S): MARPAT 142:316496
GI



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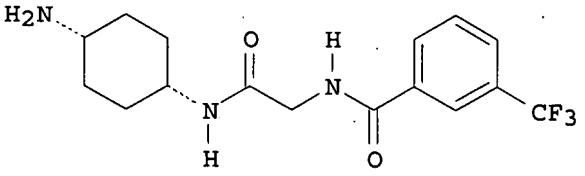


II

AB Title compds. I [Ring B = saturated or partially unsatd., (un)substituted cycloalkyl or heterocycle; X = O or S; Z = CO, CONR8, NR8, NR8CO, etc.; R1 = H, (un)substituted-alkyl, -alkenyl, -aryl, etc.; R2 = (un)substituted aryl or heteroaryl; R3 = H, Me, or Et; R8 = H, alkyl, or cycloalkyl; R10 and R10a independently = H or (un)substituted alkyl; R11 = H, alkyl, etc.; R12 = H, alkyl, (un)substituted carbocycle; m = 0-1; n = 1 or 2], or pharmaceutically acceptable salt forms thereof, are prepared and disclosed as modulators of chemokine receptor activity. Thus, e.g., II was prepared by amidation of trans-4-aminocyclohexanol hydrochloride with (3-trifluoromethylbenzoylamino)acetic acid followed by mesylation, substitution with sodium azide and subsequent reduction I were deemed active (IC50 value of 20 μM or less) in antagonism of MCP-1 binding to human peripheral blood mononuclear cells. As modulators of MCP-1, I should prove useful for the prevention of asthma, multiple sclerosis, artherosclerosis, and rheumatoid arthritis.

L7 ANSWER 10 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:160846 HCAPLUS
DOCUMENT NUMBER: 142:261394
TITLE: Preparation of alkylated acyclic diamine derivatives as modulators of chemokine receptor activity
INVENTOR(S): Carter, Percy H.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 47 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

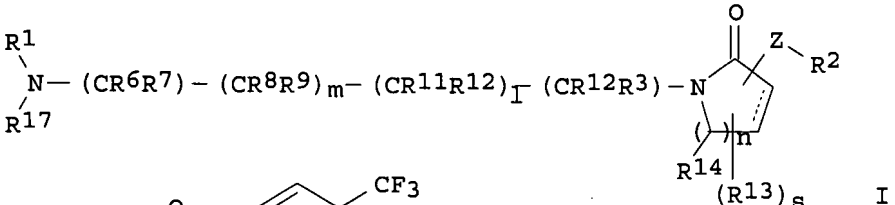
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005043392	A1	20050224	US 2004-922726	20040819
WO 2005021498	A1	20050310	WO 2004-US27075	20040820

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,

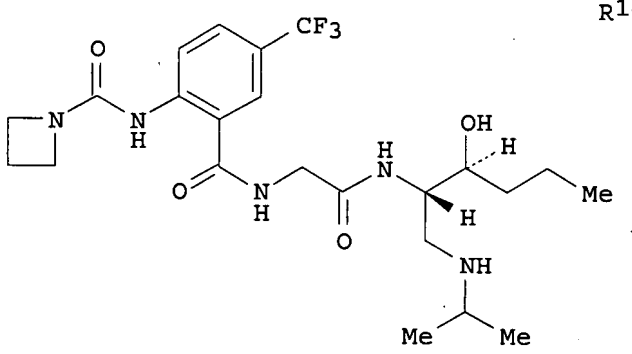
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1660445 A1 20060531 EP 2004-781702 20040820
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
PRIORITY APPLN. INFO.: US 2003-497118P P 20030821
WO 2004-US27075 W 20040820
OTHER SOURCE(S): CASREACT 142:261394; MARPAT 142:261394

GI



I



III

AB N-(aminoalkylamino)lactams of formula (I) [Z = a bond, each (un)substituted NHCO, NC(S), NHC(O)NH, NHC(S)NH, -NHSO2, NHSO2NH, C(O)NH, OC(O)NH, NHC(O)O, (CH2)t, CH:CH, CH2C(O), C(O)CH2, -CH2C(:N-OH)-, OCH2, CH2O, O, NH, NHCH2, CH2NH, S(O)p, S(O)p-CH2, S(O)p-NH; Q = O, S; bond (a) is a single or double bond; alternatively, when n is equal to 2, two atoms labeled (b) may join through a double bond; R1 = H, each (un)substituted C1-6 alkyl, C2-6 alkenyl, or C2-6 alkynyl; R2 = each (un)substituted C6-10 aryl or 5-10 membered heteroaryl containing 1-4 heteroatoms selected from N, O, and S; R3 = H, each (un)substituted (CH2)qOH, (CH2)qSH, (CH2)qNH2, (CH2)rCONH2, (CH2)rC(O)NHOH, (CH2)qSO2NH2, (CH2)r CO2H, etc.; R6, R7, R8, R9 R10, R11, R12 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, each (un)substituted (CH2)qOH, (CH2)qSH, (CH2)rNH2, (CH2)rCONH2, (CH2)rCONHOH, (CRR)rSO2NH2, or (CH2)rCO2H, etc.; R13 = H, (un)substituted C1-4 alkyl, OH, or NH2, F, Cl, Br, iodo; R14 = H, (un)substituted C1-4 alkyl; R17 = H, C1-4 alkyl, C3-4 cycloalkyl; n = 0, 1, 2, 3; l, m, p, s = 0, 1; q = 1, 2, 3, 4; r = 0, 1, 2, 3, 4; t = 1, 2, 3] or stereoisomers or pharmaceutically acceptable salts thereof are prepared This Markush structure presented in the claim of this invention does not match the structures of all the compds. prepared in examples of the disclosure. The present application describes (1) a method for modulation of chemokine or chemokine receptor activity and (2) a method for modulation of monocyte chemoattractant protein-1 (MCP-1), MCP-2, MCP-3 and MCP-4, and MCP-5 activity that is mediated by the CCR2 receptor, each comprising administering to a patient in need thereof a therapeutically effective amount of a compound of I. A method for treating inflammatory diseases or various disorders comprises administering to a patient in need thereof a therapeutically effective amount of a compound I, wherein said disorders are selected from osteoarthritis, aneurism, fever, cardiovascular effects, Crohn's disease,

congestive heart failure, autoimmune diseases, HIV-infection, HIV-associated dementia, psoriasis, idiopathic pulmonary fibrosis, transplant arteriosclerosis, phys. or chemical induced brain trauma, inflammatory bowel disease, alveolitis, colitis, systemic lupus erythematosus, nephrotoxic serum nephritis, glomerularnephritis, asthma, multiple sclerosis, artherosclerosis, rheumatoid arthritis, restenosis, organ transplantation, and cancer. Thus, [(2S,3S)-2-Amino-3-hydroxyhex-4-ynyl]carbamic acid benzyl ester (520 mg) was dissolved in 20 mL CH2Cl2 and diisopropylethylamine (0.74 mL) and cooled to 0° prior to the addition of [2-[[(azetidin-1-yl)carbonyl]amino]-5-trifluoromethylbenzoylamino]aceti c acid (684 mg) and HATU (756 mg). The resulting mixture was stirred overnight at room temperature to give, after workup, [(2S,3S)-2-[[2-[2-[[(azetidin-1-yl)carbonyl]amino]-5-trifluoromethylbenzoylamino]acetyl]amin o]-3-hydroxyhex-4-ynyl]carbamic acid benzyl ester which (740 mg) was hydrogenolyzed over 10% Pd/C (370 mg) in methanol under hydrogen balloon overnight to give azetidine-1-carboxylic acid N-[(1S,2S)-2-[[(1-aminomethyl-2-hydroxypentylcarbamoyl)methyl]carbamoyl]-4-trifluoromethylphenyl]amide (II). II (186 mg) was condensed with 34.8 mg acetone in tri-Me orthoformate overnight at room temperature and reduced by NaBH4 in methanol for 1 h to give Azetidine-1-carboxylic acid N-[2-[[[[(1S,2S)-2-hydroxy-1-[(isopropylamino)methyl]pentyl]carbamoyl]meth yl]carbamoyl]-4-trifluoromethylphenyl]amide (III).

L7 ANSWER 11 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:153859 HCAPLUS
DOCUMENT NUMBER: 140:368090
TITLE: Anthranilic acid based CCK1 antagonists: the 2-indole moiety may represent a "needle" according to the recent homonymous concept
AUTHOR(S): Varnavas, Antonio; Lassiani, Lucia; Valenta, Valentina; Berti, Federico; Tontini, Andrea; Mennuni, Laura; Makovec, Francesco
CORPORATE SOURCE: Department of Pharmaceutical Sciences, University of Trieste, Trieste, 34127, Italy
SOURCE: European Journal of Medicinal Chemistry (2004), 39(1), 85-97
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:368090
AB Recently we described an innovative class of non-peptide CCK1 antagonists keeping appropriate pharmacophoric groups on the anthranilic acid employed as a mol. scaffold. The lead compound obtained, VL-0395, characterized by the presence of Phe and the 2-indole moiety at the C- and N-termini of anthranilic acid, resp., is endowed with submicromolar affinity towards CCK1 receptors. Thus, we have prepared and tested on CCK receptors a library of VL-0395 analogs in order to investigate the precise topol. and essential key interactions of the 2-indole group of the lead with the CCK1 receptor. The obtained results confirm that this group establishes very specific interactions with this receptor sub-site and may be viewed as a "needle" group.
REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 12 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:143094 HCAPLUS
DOCUMENT NUMBER: 140:199743
TITLE: Preparation of substituted (2S)-(arylamino)-3-(biphenyl-4-yl)propionic acids as antagonists of

factor IX for inhibiting the intrinsic pathway of blood coagulation

INVENTOR(S): Mjalli, Adnan M. M.; Andrews, Robert C.; Guo, Xiao-chuan; Christen, Daniel Peter; Gohimmukkula, Devi Reddy; Huang, Guoxiang; Rothlein, Robert; Tyagi, Sameer; Yaramasu, Tripura; Behme, Christopher

PATENT ASSIGNEE(S): Transtech Pharma, Inc., USA

SOURCE: PCT Int. Appl., 326 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014844	A2	20040219	WO 2003-US25045	20030808
WO 2004014844	A3	20050428		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2493008	A1	20040219	CA 2003-2493008	20030808
AU 2003265398	A1	20040225	AU 2003-265398	20030808
US 2004110832	A1	20040610	US 2003-637900	20030808
US 7122580	B2	20061017		
EP 1546089	A2	20050629	EP 2003-785150	20030808
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005535710	T	20051124	JP 2004-527986	20030808
CN 1703395	A	20051130	CN 2003-819267	20030808
US 2006276518	A1	20061207	US 2006-500225	20060807

PRIORITY APPLN. INFO.:

US 2002-402272P	P	20020809
US 2003-637900	A3	20030808
WO 2003-US25045	W	20030808

OTHER SOURCE(S): MARPAT 140:199743

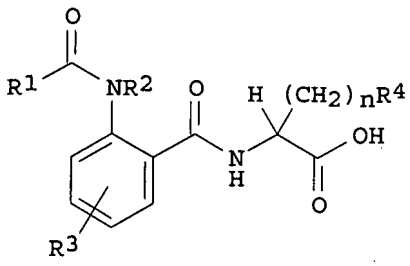
AB The title compds. Ar2XCH(VAr1)(CH2)cG [I; c = 0-2; G = H, CO2R1, CH2OR1, COR1, CR1:NOR2, an acid isostere (wherein R1, R2 = H, alkyl, aryl, etc.); V = (CH2)bO(CH2)a, (CH2)bNR7(CH2)a, (CH2)bO, (CH2)bNR7, (CH2)a, a bond (a = 0-2; b = 1-2; R7 = H, alkyl, aryl, etc.); X = NR8, COR8, NR8CO, etc. (R8 = H, alkyl, aryl, etc.); Ar1 = (un)substituted aryl, heteroaryl, cycloalkylaryl, etc.; Ar2 = (un)substituted aryl or heteroaryl], useful as antagonists, or more preferably, partial antagonists of factor IX and thus, may be used to inhibit the intrinsic pathway of blood coagulation, were prepared Thus, reacting Me 2-L-amino-3-biphenyl-4-yl-propionate with isoquinoline-3-carboxylic acid followed by hydrolysis afforded 81% 3-biphenyl-4-yl-(2S)-[(isoquinoline-3-carbonyl)amino]propionic acid. The compds. I inhibit factor IX with IC50 of less than 30 μM, and are useful in a variety of applications including the management, treatment and/or control of diseases caused in part by the intrinsic clotting pathway utilizing factor IX. Such diseases or disease states include stroke, myocardial infarction, aneurysm surgery, and deep vein thrombosis associated with surgical procedures, long periods of confinement, and acquired or inherited pro-coagulant states. The pharmaceutical composition

comprising the compound I is claimed.

L7 ANSWER 13 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:120818 HCAPLUS
DOCUMENT NUMBER: 140:181804
TITLE: Preparation of anthranil amino acid derivatives having anticholecystokinin activity (anti-CCK-1)
INVENTOR(S): Makovec, Francesco; Varnavas, Antonio; Lassiani, Lucia; Rovati, Lucio Claudio
PATENT ASSIGNEE(S): Rotta Research Laboratorium S.P.A., Italy
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004013087	A1	20040212	WO 2003-IB2922		20030723
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
IT 2002TO0674	A1	20040126	IT 2002-TO674		20020726
CA 2493789	A1	20040212	CA 2003-2493789		20030723
AU 2003253114	A1	20040223	AU 2003-253114		20030723
EP 1532105	A1	20050525	EP 2003-766505		20030723
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
JP 2005533866	T	20051110	JP 2004-525597		20030723
US 2006111304	A1	20060525	US 2005-523075		20050125
PRIORITY APPLN. INFO.:			IT 2002-TO674	A	20020726
			WO 2003-IB2922	W	20030723

OTHER SOURCE(S): MARPAT 140:181804
GI



I

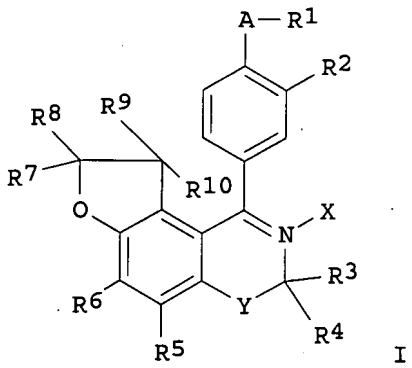
AB Amino acid anthranilic derivs. I [n is 0-7; R1 is (un)substituted 2- or 3-benzofuranyl, -benzothienyl, or -indolyl; R1 is H or Me; R3 is H, Me, F, Cl, CF3, or OMe; R4 is H, alkylthio, alkylsulfonyl, alkyl, cycloalkyl, adamantyl, (un)substituted Ph, etc. (R, S, or racemic)] were prepared as

antagonists for the CCK receptors. Thus, racemic compound I (n = 1, R1 = 2-indolyl, R2 = R3 = H, R4 = Ph) was prepared by amidation reactions of DL-phenylalanine Et ester hydrochloride, isatoic anhydride and 2-indolecarboxylic acid, followed by saponification The product showed IC50 = 0.24 μmol/L for inhibition of binding of [125I]-BH-CCK-8 to isolated pancreatic acini.

L7 ANSWER 14 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:101169 HCAPLUS
DOCUMENT NUMBER: 140:146121
TITLE: Preparation of furoisoquinoline derivatives as phosphodiesterase 4 inhibitors
INVENTOR(S): Inoue, Yoshihisa; Fujii, Nobuhiro; Gyoten, Michiyo; Matsumoto, Tatsumi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 272 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004011470	A1	20040205	WO 2003-JP9386	20030724
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003281691	A1	20040216	AU 2003-281691	20030724
JP 2004067690	A	20040304	JP 2003-279166	20030724
EP 1541576	A1	20050615	EP 2003-741560	20030724
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1681823	A	20051012	CN 2003-822319	20030724
US 2006106048	A1	20060518	US 2005-522119	20051118
PRIORITY APPLN. INFO.:			JP 2002-217496 A	20020726
			WO 2003-JP9386 W	20030724

OTHER SOURCE(S): MARPAT 140:146121
GI



I

AB The title compds. I [X represents (O)n; A represents a bond, a group represented by the formula CRa:CRb (Ra and Rb each represents hydrogen or C1-6 alkyl), etc.; R1 represents cyano or optionally esterified or amidated carboxy; R2 represents hydrogen, optionally substituted hydroxy, optionally substituted amino, etc.; R3 and R4 each represents hydrogen, etc.; R5 represents hydrogen, etc.; R6 represents optionally substituted hydroxy, etc.; R7 and R8 each represents optionally substituted hydrocarbon group, etc.; R9 and R10 each represents hydrogen, etc.; Y represents optionally substituted methylene; and n is 0 or 1] are prepared The bioactivity of I was demonstrated. Formulations are given.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 15 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:737529 HCAPLUS
DOCUMENT NUMBER: 139:276714
TITLE: Preparation of arylthiomethyl carbamoylcyclohexanes and related compounds as modulators of chemokine receptor activity
INVENTOR(S): Cherney, Robert J.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 293 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003075853	A2	20030918	WO 2003-US7145	20030307
WO 2003075853	A3	20040401		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003218028	A1	20030922	AU 2003-218028	20030307

US 2003216434	A1	20031120	US 2003-383391	20030307
US 7087604	B2	20060808		
EP 1483241	A2	20041208	EP 2003-714009	20030307
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006135503	A1	20060622	US 2006-351415	20060210
PRIORITY APPLN. INFO.:			US 2002-362604P	P 20020308
			US 2003-383391	A3 20030307
			WO 2003-US7145	W 20030307

OTHER SOURCE(S): MARPAT 139:276714

AB R1E(CHR13)sB(CHR13)sNR14CO(CR10R10a)nN(R8)ZR2 [B = (unsatd.) (substituted) 3-8 membered cycloalkyl, 3-7 membered heterocyclyl; Z = bond, CO, CONH, CSNH, SO2, SO2NH; E = NHCO2, SOpCHR15, COCHR15, etc.; R1, R2 = (substituted) aryl, heteroaryl; R8 = H, alkyl, cycloalkyl; R10, R10a = H, (substituted) alkyl; R13 = Me, (substituted) alkyl; R14, R15 = H, alkyl; n = 1, 2; p = 0-2; s = 0, 1], were prepared as drugs (no data). Thus, (1S*,2R*)(2-phenylsulfanylmethylcyclohexyl)carbamic acid tert-Bu ester (preparation given) in CH2Cl2 at 0° was treated with CF3CO2H and the reaction was warmed to rt to give a residue. This in DMF with diisopropylethylamine and BOC-Gly-OH at 0° was treated with BOP followed by warming to room temperature and stirring overnight. The resulting residue was treated with CF3CO2H in CH2Cl2 at 0° to room temperature to give a residue which in DMF with diisopropylethylamine and 2-(tert-butoxycarbonyl)amino-5-trifluoromethylbenzoic acid at 0° was treated with BOP followed by warming to room temperature and stirring overnight to give tert-Bu 2-[[[2-[[(1S*,2R*)-2-[(phenylthio)methyl]cyclohexyl]amino]-2-oxoethyl]amino]carbonyl]-4-(trifluoromethyl)phenylcarbamate.

L7 ANSWER 16 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	2003:52789 HCAPLUS
DOCUMENT NUMBER:	139:357992
TITLE:	Anthranilic acid derivatives: a new class of non-peptide CCK1 receptor antagonists
AUTHOR(S):	Varnavas, Antonio; Lassiani, Lucia; Valenta, Valentina; Berti, Federico; Mennuni, Laura; Makovec, Francesco
CORPORATE SOURCE:	Department of Pharmaceutical Sciences, University of Trieste, Trieste, 34127, Italy
SOURCE:	Bioorganic & Medicinal Chemistry (2003), 11(5), 741-751 CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER:	Elsevier Science Ltd.
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 139:357992

AB Having successfully obtained new CCK1 ligands holding appropriate groups on the anthranilic acid dimer used as mol. scaffold we were interested in increasing their micromolar affinity for the CCK1 receptors by modifying the spatial relationship of the main pharmacophoric groups. Since, we have proposed simplified analogs reducing the anthranilic acid dimer to a monomer. In this stage of our research program we have prepared and tested on CCK receptors a series of N-substituted anthranilic acid derivs. keeping a Phe residue at the C-terminal site. The indole-2-carbonyl group imparts the best CCK1 receptor binding affinity (compound 1: IC50=197.5 nM) while a sharp decrease in binding affinity is observed for the other indole containing derivs. Moreover, in order to support the different binding behavior observed for the synthesized compds., a conformational investigation was carried out. Finally, on the basis of the main pharmacophoric groups of the obtained new lead compound (1) (coded VL-0395) a receptor binding

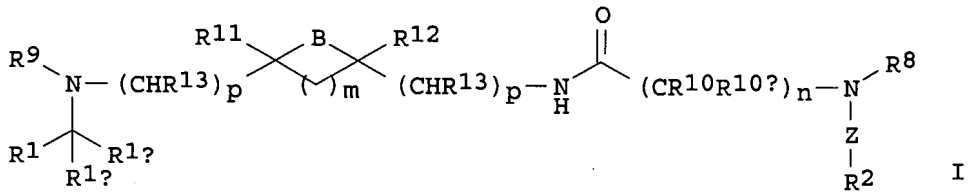
hypothesis has been provided.
REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 17 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:594806 HCAPLUS
DOCUMENT NUMBER: 137:154762
TITLE: Preparation of N-[2-(cycloalkylamino)-2-oxoethyl]benzamides and related compounds as modulators of chemokine receptor activity
INVENTOR(S): Cherney, Robert
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 286 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002060859	A2	20020808	WO 2001-US50252	20011220
WO 2002060859	A3	20030327		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2432369	A1	20020808	CA 2001-2432369	20011220
AU 2002248244	A1	20020812	AU 2002-248244	20011220
US 2003004151	A1	20030102	US 2001-27644	20011220
US 6706712	B2	20040316		
EP 1343751	A2	20030917	EP 2001-997125	20011220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 200303652	A2	20040301	HU 2003-3652	20011220
JP 2004523534	T	20040805	JP 2002-561010	20011220
US 2004110736	A1	20040610	US 2003-706448	20031112
US 7045521	B2	20060516		
US 2006135502	A1	20060622	US 2005-315385	20051222

PRIORITY APPLN. INFO.:		
US 2000-256904P	P	20001220
US 2001-27644	A3	20011220
WO 2001-US50252	W	20011220
US 2003-706448	A3	20031112

OTHER SOURCE(S): MARPAT 137:154762
GI



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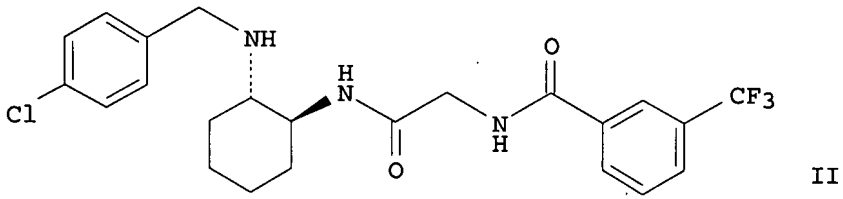

II

AB Title compds. I [wherein; or pharmaceutically acceptable salts thereof] were prepared as modulators of chemokine receptor activity, especially monocyte chemoattractant protein-1 (MCP-1) (no data). For example, N-tert-butoxycarbonylcyclohexane-(S,S)-1,2-diamine was treated with 4-methylmorpholine and [[3-(trifluoromethyl)benzoyl]amino]acetic acid in DMF to give the amide. Deprotection using TFA in CH2Cl2, followed by sequential addition of Hunig's base, 4-chlorobenzaldehyde, and NaHB(OAc)3, afforded the [(cyclohexylamino)oxoethyl]benzamide II. I are useful for the treatment and prevention of inflammatory disease, allergic and autoimmune diseases, and in particular, rheumatoid arthritis, multiple sclerosis, atherosclerosis and asthma (no data).

L7 ANSWER 18 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:487516 HCAPLUS
DOCUMENT NUMBER: 137:63474
TITLE: Preparation of amino acid-related diamines as modulators of chemokine receptor activity
INVENTOR(S): Carter, Percy; Cherney, Robert
PATENT ASSIGNEE(S): Bristol-Myers Squibb Pharma Company, USA
SOURCE: PCT Int. Appl., 375 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050019	A2	20020627	WO 2001-US50619	20011220
WO 2002050019	A3	20030313		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2432908	A1	20020627	CA 2001-2432908	20011220
AU 2002041724	A5	20020701	AU 2002-41724	20011220

US 2003060459	A1	20030327	US 2001-27505		20011220
US 6974836	B2	20051213			
EP 1351924	A2	20031015	EP 2001-988415		20011220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
HU 200303540	A2	20040128	HU 2003-3540		20011220
JP 2005506949	T	20050310	JP 2002-551518		20011220
US 2005282882	A1	20051222	US 2005-181436		20050714
PRIORITY APPLN. INFO.:			US 2000-256855P	P	20001220
			US 2001-27505	A3	20011220
			WO 2001-US50619	W	20011220

OTHER SOURCE(S): MARPAT 137:63474

AB Diamine compds. R1-X-CR6R7(CR8R9)m(CR10R11)lCR12R3NHCO(CR14R14a)nNR15-Z-R2 [Z = a bond, CONH, C(S)NH, SO2, SO2NH; X = NH, (cyclo)alkylimino, O, S, methyleneimino optionally substituted by (cyclo)alkyl; R1, R2 = (hetero)aryl; R3 = H, functionalized alkyl, (hetero)cyclyl; R6-R12 = alkyl, alkenyl, alkynyl, any group given for R3; R14, R14a = (un)substituted alkyl; n = 1 or 2; l, m = 0 or 1] or their pharmaceutically acceptable salt were prepared as modulators of chemokine receptor activity for use in the treatment and prevention of asthma, multiple sclerosis, atherosclerosis, and rheumatoid arthritis. One hundred ninety-four diamines, e.g., Me (2S)-3-[[(2,4-dimethylphenyl)methyl]amino]-2-[[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]propanoate, were synthesized and claimed. All examples of the present invention have activity (IC50 = 50% at .ltorsim. 20 μM) in the antagonism of MCP-1 binding to human PBMC (human peripheral blood mononuclear cells).

L7 ANSWER 19 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:228855 HCAPLUS
DOCUMENT NUMBER: 134:252658
TITLE: Preparation of tyrosine derivatives as inhibitors of α4 containing integrin-mediated binding to ligands VCAM-1 and MAdCAM.
INVENTOR(S): Jackson, David Y.; Sailes, Frederick C.; Sutherlin, Daniel P.
PATENT ASSIGNEE(S): Genentech, Inc., USA
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021584	A1	20010329	WO 2000-US26326	20000925
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2385882	A1	20010329	CA 2000-2385882	20000925
EP 1214292	A1	20020619	EP 2000-965417	20000925
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

US 6469047	B1	20021022	US 2000-669779	20000925
JP 2003509488	T	20030311	JP 2001-524964	20000925
AU 780385	B2	20050317	AU 2000-76138	20000925
US 2004110753	A1	20040610	US 2002-198328	20020716
US 2004158076	A1	20040812	US 2004-772678	20040204

PRIORITY APPLN. INFO.:

US 1999-156062P	P	19990924
US 2000-669779	A1	20000925
WO 2000-US26326	W	20000925
US 2002-198328	A1	20020716

OTHER SOURCE(S): MARPAT 134:252658

AB Tyrosine derivs., e.g., ArCH2CH[N(A)(Z)]CO-Y [Z = H, alkyl; A = B(CH2)q-X-, where B = (un)substituted Ph and X = CO, SO2, null or B = cyanoalkyl, carbocyclyl or heterocyclyl and X = CO; R6 = H, alkyl, amino, cyano, hydroxy, alkylsulfonyl, etc.; q = 0-3; Y is H, (un)substituted alkoxy, alkoxyalkoxy, aryloxy, alkylaminoalkoxy, dialkylaminoalkoxy,alkylamino, arylamino, heterocyclyl or heteroarylalkyl; Ar is Ph which has hydroxy, carbonate, thiocarbonate, carbamoyloxy or acyloxy groups and optionally other substituents] were prepared as inhibitors of α4 containing integrin-mediated binding to ligands such as VCAM-1 and MAdCAM. Methods of synthesis are described and inhibitory binding data are tabulated for 416 compds., including N-(o-chlorobenzoyl)-O-(allylcarbamoyl)-L-tyrosine, for which IC50 is < 1.0 micromolar.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	135.28	481.49

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-24.96	-24.96

STN INTERNATIONAL LOGOFF AT 14:13:39 ON 18 APR 2007